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NEWS 1		Web Page URLs for STN Seminar Schedule - N. America
NEWS 2		"Ask CAS" for self-help around the clock
NEWS 3	DEC 23	New IPC8 SEARCH, DISPLAY, and SELECT fields in USPATFULL/ USPAT2
NEWS 4	JAN 13	IPC 8 searching in IFIPAT, IFIUDB, and IFICDB
NEWS 5	JAN 13	New IPC 8 SEARCH, DISPLAY, and SELECT enhancements added to INPADOC
NEWS 6	JAN 17	Pre-1988 INPI data added to MARPAT
NEWS 7	JAN 17	IPC 8 in the WPI family of databases including WPIFV
NEWS 8	JAN 30	Saved answer limit increased
NEWS 9	FEB 21	STN AnaVist, Version 1.1, lets you share your STN AnaVist visualization results
NEWS 10	FEB 22	The IPC thesaurus added to additional patent databases on STN
NEWS 11	FEB 22	Updates in EPFULL; IPC 8 enhancements added
NEWS 12	FEB 27	New STN AnaVist pricing effective March 1, 2006
NEWS 13	FEB 28	MEDLINE/LMEDLINE reload improves functionality
NEWS 14	FEB 28	TOXCENTER reloaded with enhancements
NEWS 15	FEB 28	REGISTRY/ZREGISTRY enhanced with more experimental spectral property data
NEWS 16	MAR 01	INSPEC reloaded and enhanced
NEWS 17	MAR 03	Updates in PATDPA; addition of IPC 8 data without attributes
NEWS 18	MAR 08	X.25 communication option no longer available after June 2006
NEWS 19	MAR 22	EMBASE is now updated on a daily basis
NEWS 20	APR 03	New IPC 8 fields and IPC thesaurus added to PATDPAFULL
NEWS 21	APR 03	Bibliographic data updates resume; new IPC 8 fields and IPC thesaurus added in PCTFULL
NEWS 22	APR 04	STN AnaVist $500 visualization usage credit offered
NEWS 23	APR 12	LINSPEC, learning database for INSPEC, reloaded and enhanced
NEWS 24	APR 12	Improved structure highlighting in FQHIT and QHIT display in MARPAT
NEWS 25	APR 12	Derwent World Patents Index to be reloaded and enhanced during second quarter; strategies may be affected

NEWS EXPRESS FEBRUARY 15 CURRENT VERSION FOR WINDOWS IS V8.01a,
CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP),
AND CURRENT DISCOVER FILE IS DATED 19 DECEMBER 2005.
V8.0 AND V8.01 USERS CAN OBTAIN THE UPGRADE TO V8.01a AT
http://download.cas.org/express/v8.0-Discover/

NEWS HOURS	STN Operating Hours Plus Help Desk Availability
NEWS LOGIN	Welcome Banner and News Items
NEWS IPC8	For general information regarding STN implementation of IPC 8

Enter NEWS followed by the item number or name to see news on that specific topic.

* * * * * * * * * * * * * * * STN Columbus * * * * * * * * * * * * * * * *

FILE 'HOME' ENTERED AT 14:58:09 ON 14 APR 2006

=> file reg
COST IN U.S. DOLLARS SINCE FILE ENTRY TOTAL SESSION
FULL ESTIMATED COST 0.21 0.21

FILE 'REGISTRY' ENTERED AT 14:58:16 ON 14 APR 2006

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 12 APR 2006 HIGHEST RN 880252-04-0
DICTIONARY FILE UPDATES: 12 APR 2006 HIGHEST RN 880252-04-0

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH January 6, 2006

Please note that search-term pricing does apply when conducting SmartSELECT searches.

****
* *
* The CA roles and document type information have been removed from *
* the IDE default display format and the ED field has been added, *
* effective March 20, 2005. A new display format, IDERL, is now *
* available and contains the CA role and document type information. *
* *
****

Structure search iteration limits have been increased. See HELP SLIMITS for details.

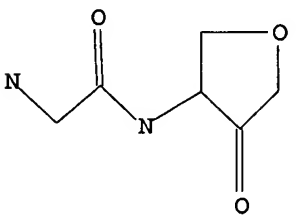
REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

http://www.cas.org/ONLINE/UG/regprops.html

=>
Uploading C:\Program Files\Stnexp\Queries\10678947b.str

L1 STRUCTURE UPLOADED

=> d l1
L1 HAS NO ANSWERS
L1 STR



Structure attributes must be viewed using STN Express query preparation.

=> s l1
SAMPLE SEARCH INITIATED 14:58:47 FILE 'REGISTRY'

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SAMPLE SCREEN SEARCH COMPLETED -      113 TO ITERATE

100.0% PROCESSED      113 ITERATIONS                                30 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:          1623 TO     2897
PROJECTED ANSWERS:              272 TO      928

L2           30 SEA SSS SAM L1

=> s l1 full
FULL SEARCH INITIATED 14:58:57 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -     2075 TO ITERATE

100.0% PROCESSED     2075 ITERATIONS                              535 ANSWERS
SEARCH TIME: 00.00.01

L3           535 SEA SSS FUL L1

=> file hcaplus
COST IN U.S. DOLLARS                              SINCE FILE      TOTAL
                                                     ENTRY    SESSION
FULL ESTIMATED COST                                 166.94     167.15

FILE 'HCAPLUS' ENTERED AT 14:59:06 ON 14 APR 2006
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FILE COVERS 1907 - 14 Apr 2006  VOL 144 ISS 17
FILE LAST UPDATED: 13 Apr 2006  (20060413/ED)

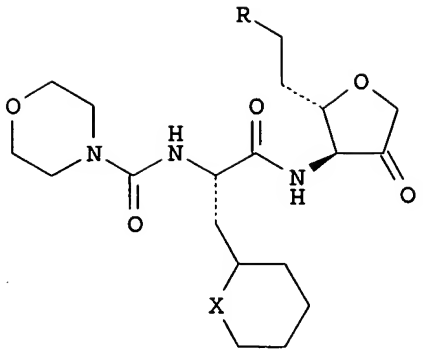
New CAS Information Use Policies, enter HELP USAGETERMS for details.

 This file contains CAS Registry Numbers for easy and accurate
 substance identification.

=> s l3
L4           21 L3

=> d ed abs ibib hitstr 1-21

L4   ANSWER 1 OF 21  HCAPLUS  COPYRIGHT 2006 ACS on STN
ED   Entered STN:  08 Sep 2005
GI
```



I

AB The invention relates to compds. I [R is H, F or OH, Q is (CH2)1-3], which are inhibitors of cathepsin S and have utility in the treatment of certain immune disorders and chronic pain. Thus, dipeptide derivative I (R = H, X = CH2), prepared by a multistep sequence starting from N-Boc protected (S,S)-2-ethyl-4-oxotetrahydro-3-furanamine, showed ki = 88 nM for inhibition of cathepsin S.

ACCESSION NUMBER: 2005:979632 HCAPLUS
DOCUMENT NUMBER: 143:267244
TITLE: Preparation of C-5 substituted furanone dipeptides as cathepsin S inhibitors
INVENTOR(S): Miah, Soyfur; Nilsson, Magnus; Wahling, Horst; Pelcman, Michael; Xhou, Xiao-Xiong; Clissold, Cole; Rae, Alastair; Tozer, Matt; Hardick, David
PATENT ASSIGNEE(S): Medivir UK Ltd., UK; Peptimmune, Inc.
SOURCE: PCT Int. Appl., 50 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

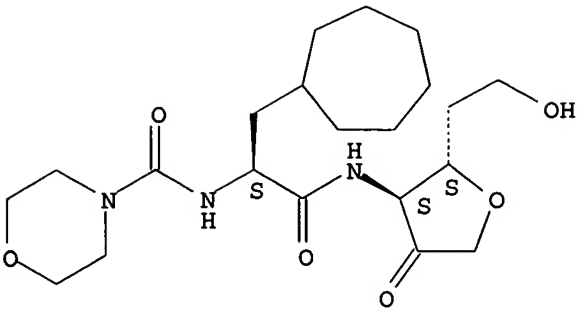
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005082876	A1	20050909	WO 2005-EP50870	20050301

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.:
GB 2004-4563 A 20040301
GB 2004-4565 A 20040301
GB 2004-4566 A 20040301

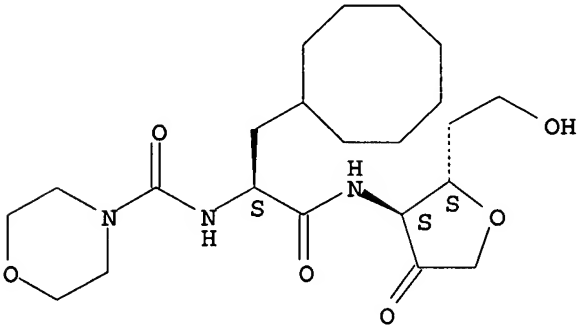
OTHER SOURCE(S): MARPAT 143:267244
IT **863972-35-4P 863972-36-5P 863972-37-6P**
863972-39-8P 863972-40-1P 863972-41-2P
863972-43-4P 863972-44-5P 863972-45-6P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of furanone dipeptides as cathepsin S inhibitors)
RN 863972-35-4 HCAPLUS
CN L-erythro-2-Hexulose, 1,4-anhydro-3-[[(2S)-3-cyclohexyl-2-[(4-morpholinylcarbonyl)amino]-1-oxopropyl]amino]-3,5,6-trideoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



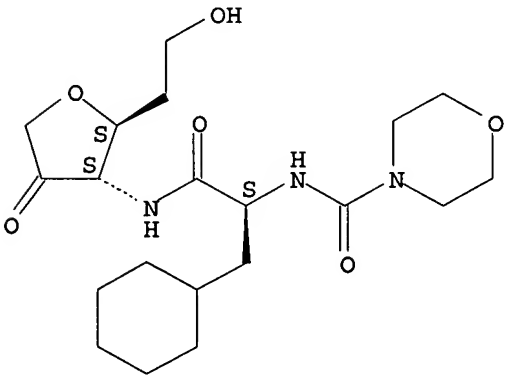
RN 863972-44-5 HCAPLUS
CN L-erythro-2-Hexulose, 1,4-anhydro-3-[[(2S)-3-cyclooctyl-2-[(4-morpholinylcarbonyl)amino]-1-oxopropyl]amino]-3,5-dideoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 863972-45-6 HCAPLUS
CN L-erythro-2-Hexulose, 1,4-anhydro-3-[[(2S)-3-cyclohexyl-2-[(4-morpholinylcarbonyl)amino]-1-oxopropyl]amino]-3,5-dideoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 21 HCAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 16 Aug 2005
AB On page 2903 in line 23 of the Introduction and Figure 1 on page 2904, compound 1 was erroneously assigned as the GSK candidate SB-462795. This database assignment is incorrect. At present, the structure of SB-462795 is unavailable.
ACCESSION NUMBER: 2005:778006 HCAPLUS
DOCUMENT NUMBER: 143:478172
TITLE: Functionalised 2,3-dimethyl-3-aminotetrahydrofuran-4-

one and N-(3-oxohexahydrocyclopenta[b]furan-3a-yl)acylamide based scaffolds: synthesis and cysteinyl proteinase inhibition. [Erratum to document cited in CA141:123878]

AUTHOR(S): Watts, John; Benn, Alex; Flinn, Nick; Monk, Tracy; Ramjee, Manoj; Ray, Peter; Wang, Yikang; Quibell, Martin

CORPORATE SOURCE: Incenta House, Horizon Park, Amura Therapeutics Limited, Cambridge, CB3 7AJ, UK

SOURCE: Bioorganic & Medicinal Chemistry (2005), 13(18), 5502
CODEN: BMECEP; ISSN: 0968-0896

PUBLISHER: Elsevier Ltd.

DOCUMENT TYPE: Journal

LANGUAGE: English

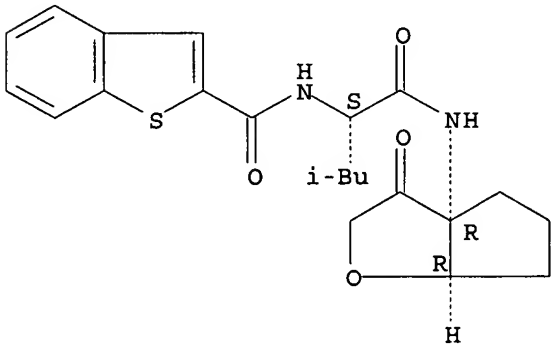
IT **443761-54-4P 443761-55-5P 443924-11-6P 443924-34-3P 443924-45-6P 724427-91-2P 724427-92-3P 724427-93-4P 724428-00-6P 724428-02-8P 724428-04-0P 724428-07-3P 724428-09-5P 724428-11-9P 724428-16-4P 724428-17-5P**

RL: BSU (Biological study, unclassified); CPN (Combinatorial preparation); BIOL (Biological study); CMBI (Combinatorial study); PREP (Preparation)
(stereoselective synthesis of dimethylaminofuranone and (oxocyclopentafuranyl)acylamide scaffolds for combinatorial solid-phase preparation of (furanylcarbamoyl)alkyl amides with cysteinyl proteinase inhibitory activity (Erratum))

RN 443761-54-4 HCAPLUS

CN Benzo[b]thiophene-2-carboxamide, N-[(1S)-1-[[[(3aR,6aR)-hexahydro-3-oxo-3aH-cyclopenta[b]furan-3a-yl]amino]carbonyl]-3-methylbutyl]- (9CI) (CA INDEX NAME)

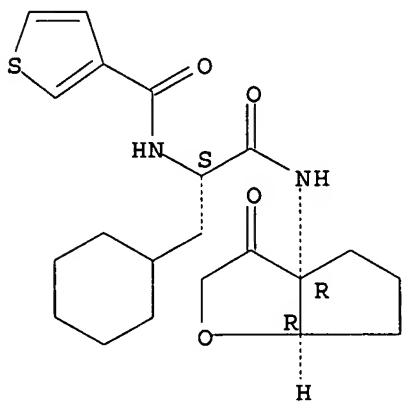
Absolute stereochemistry.

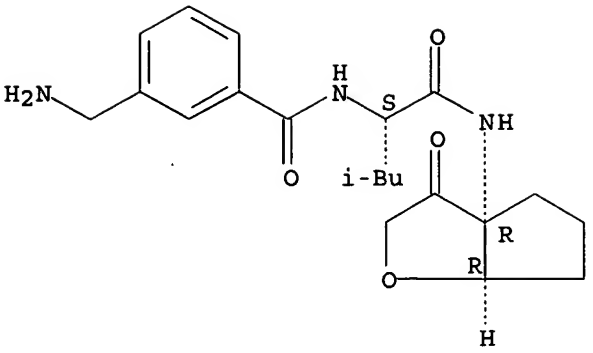


RN 443761-55-5 HCAPLUS

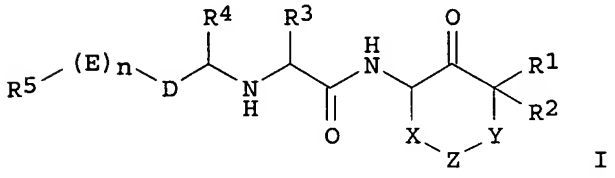
CN 3-Thiophenecarboxamide, N-[(1S)-1-(cyclohexylmethyl)-2-[[(3aR,6aR)-hexahydro-3-oxo-3aH-cyclopenta[b]furan-3a-yl]amino]-2-oxoethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.





L4 ANSWER 3 OF 21 HCAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 22 Jul 2005
GI



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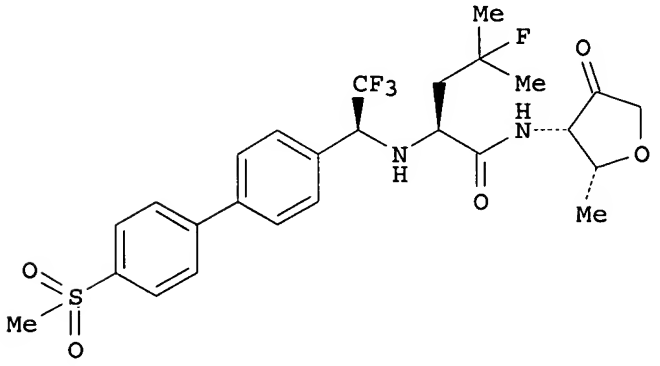


II

AB The invention relates to novel leucinamide derivs. I [X is (CR1R2)0-2; Y, Z are independently CR1R2, O, S, SO2, CO, NH or substituted imino; D, E are independently (un)substituted aryl or heteroaryl; n is 0 or 1; R1, R2 are independently H, halo or (un)substituted alkyl; or CR1R2 is a ring; R3 is alkyl or alkenyl; R4 is haloalkyl; R5 is H, alkyl, alkoxy, aryl, heteroaryl, cycloalkyl, heterocyclyl, OH, acyl, etc.] or their pharmaceutically-acceptable salts or stereoisomers, which are cathepsin cysteine protease inhibitors useful for treating and preventing cathepsin dependent conditions, e.g., osteoporosis, in which inhibition of bone resorption is indicated. Thus, peptide II was prepared by coupling of N-[(1S)-1-(4-bromophenyl)-2,2,2-trifluoroethyl]-4-fluoro-L-leucine with (4S,5R)-4-amino-5-methyldihydrofuran-3(2H)-one and [4-(methylthio)phenyl]boronic acid, followed by S-oxidation

ACCESSION NUMBER: 2005:638869 HCAPLUS
DOCUMENT NUMBER: 143:133700
TITLE: Preparation of peptides as cathepsin cysteine protease inhibitors
INVENTOR(S): Bayly, Christopher; Black, Cameron; Therien, Michel
PATENT ASSIGNEE(S): Merck Frosst Canada & Co., Can.
SOURCE: PCT Int. Appl., 62 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005066159	A1	20050721	WO 2005-CA7	20050106

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

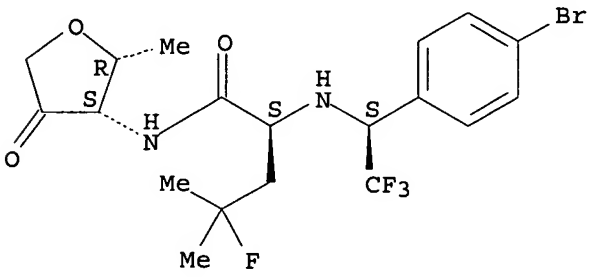
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2004-534920P P 20040108
OTHER SOURCE(S): MARPAT 143:133700

IT **847361-73-3P 847361-74-4P**
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of peptides as cathepsin cysteine protease inhibitors)

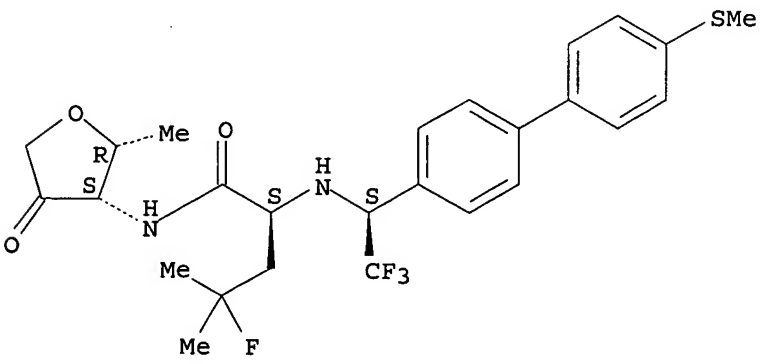
RN 847361-73-3 HCAPLUS
CN D-threo-2-Pentulose, 1,4-anhydro-3,5-dideoxy-3-[[(2S)-2-[[(1S)-1-(4-bromophenyl)-2,2,2-trifluoroethyl]amino]-4-fluoro-4-methyl-1-oxopentyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

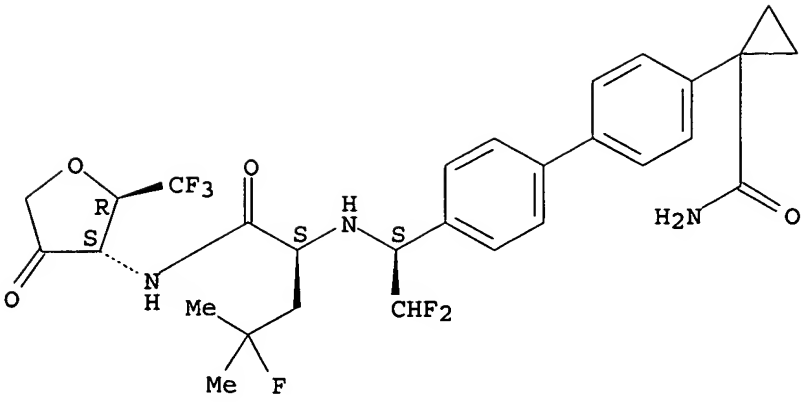


RN 847361-74-4 HCAPLUS
CN D-threo-2-Pentulose, 1,4-anhydro-3,5-dideoxy-3-[[(2S)-4-fluoro-4-methyl-1-oxo-2-[[(1S)-2,2,2-trifluoro-1-[4'-(methylthio)[1,1'-biphenyl]-4-yl]ethyl]amino]pentyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

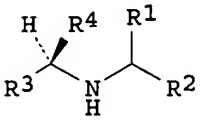


IT **847361-49-3P 858945-79-6P 858946-52-8P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 4 OF 21 HCAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 11 Mar 2005
GI



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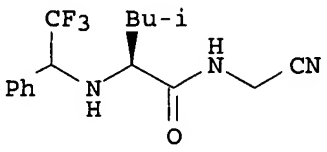


II

AB The invention relates to compds. I which are cysteine protease inhibitors, including but not limited to inhibitors of cathepsins K, L, S and B, and are useful for treating diseases in which inhibition of bone resorption is indicated, e.g., osteoporosis, osteoarthritis and rheumatoid arthritis. Thus, a mixture of L-leucine Me ester hydrochloride, 2,2,2-trifluoroacetophenone, diisopropylethylamine and TiCl4 in CH2Cl2 was stirred overnight, addnl. TiCl4 added, and the mixture stirred an addnl. 3 h. A solution of NaCNBH3 in MeOH was added and the mixture stirred 2 h to afford Me N-(2,2,2-trifluoro-1-phenylethyl)-L-leucinate. Saponification of the ester and reaction with aminoacetonitrile hydrochloride in DMF in the presence of PyBOP and Et3N yielded L-leucinamide derivative II.

ACCESSION NUMBER: 2005:219775 HCAPLUS
DOCUMENT NUMBER: 142:280425
TITLE: Preparation of amino acid derivatives as cathepsin inhibitors
INVENTOR(S): Bayly, Christopher; Black, Cameron; McKay, Daniel J.
PATENT ASSIGNEE(S): Merck Frosst Canada & Co., Can.
SOURCE: PCT Int. Appl., 106 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005021487	A1	20050310	WO 2004-CA1577	20040823

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,

EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2003-498017P P 20030827

OTHER SOURCE(S): MARPAT 142:280425

IT **847361-49-3P**

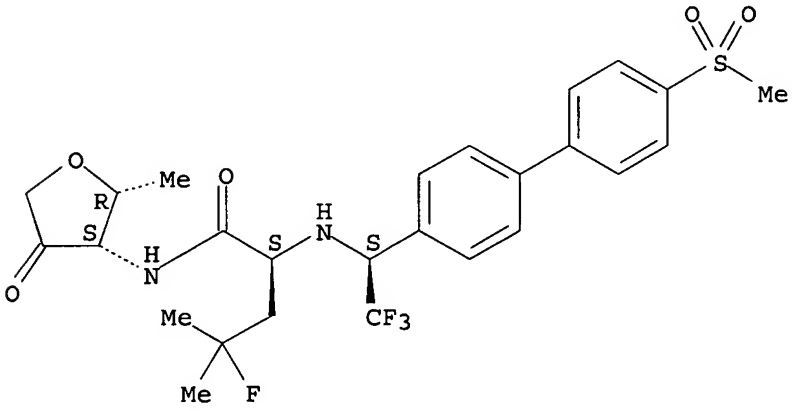
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of amino acid derivs. as cathepsin inhibitors)

RN 847361-49-3 HCAPLUS

CN D-threo-2-Pentulose, 1,4-anhydro-3,5-dideoxy-3-[[(2S)-4-fluoro-4-methyl-1-oxo-2-[[(1S)-2,2,2-trifluoro-1-[4'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]ethyl]amino]pentyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT **847361-73-3P 847361-74-4P**

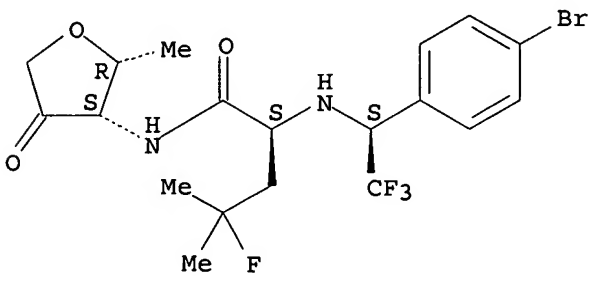
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of amino acid derivs. as cathepsin inhibitors)

RN 847361-73-3 HCAPLUS

CN D-threo-2-Pentulose, 1,4-anhydro-3,5-dideoxy-3-[[(2S)-2-[[(1S)-1-(4-bromophenyl)-2,2,2-trifluoroethyl]amino]-4-fluoro-4-methyl-1-oxopentyl]amino]- (9CI) (CA INDEX NAME)

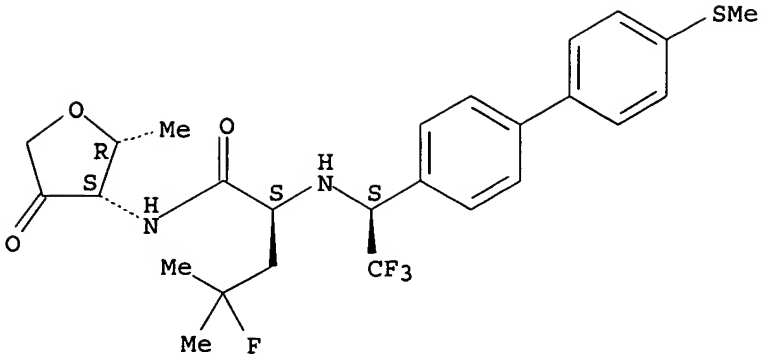
Absolute stereochemistry.



RN 847361-74-4 HCAPLUS

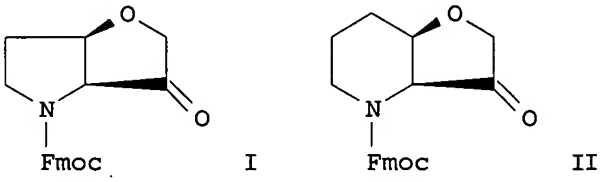
CN D-threo-2-Pentulose, 1,4-anhydro-3,5-dideoxy-3-[[(2S)-4-fluoro-4-methyl-1-oxo-2-[[(1S)-2,2,2-trifluoro-1-[4'-(methylthio)[1,1'-biphenyl]-4-yl]ethyl]amino]pentyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



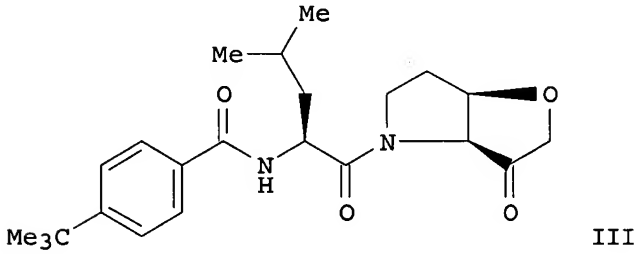
REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 5 OF 21 HCAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 07 Oct 2004
GI



I

II



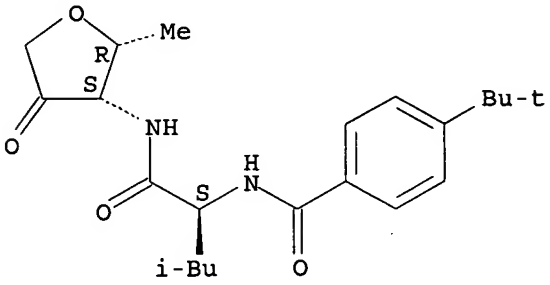
III

AB A stereoselective synthesis of (3aS,6aR)-tetrahydrofuro[3,2-b]pyrrol-3-ones and (3aS,7aR)-hexahydrofuro[3,2-b]pyridin-3-ones has been developed through Fmoc protected scaffolds I and II. A key design element within these novel bicyclic scaffolds, in particular the 5,5-fused system, was the inherent stability of the cis-fused geometry in comparison to that of the corresponding trans-fused. Since the bridgehead stereocenter situated β to the ketone was of a fixed and stable configuration, the fact that cis ring fusion is both kinetically and thermodynamically stable with respect to trans ring fusion provides chiral stability to the bridgehead stereocenter that is situated α to the ketone. To exemplify this principle, building blocks I and II were designed, prepared and utilized in a solid phase combinatorial synthesis of peptidomimetic inhibitors, e.g. III. Both series were chirally stable with the 5,5-series exhibiting potent in vitro activity against a range of CAC1 cysteinyl proteinases. III, a potent and selective inhibitor of cathepsin K, possessed good primary DMPK properties along with promising activity in an in vitro cell-based human osteoclast assay of bone resorption.

ACCESSION NUMBER: 2004:819182 HCAPLUS
DOCUMENT NUMBER: 142:38170
TITLE: Bicyclic peptidomimetic tetrahydrofuro[3,2-b]pyrrol-3-one and hexahydrofuro[3,2-b]pyridin-3-one based scaffolds: synthesis and cysteinyl proteinase inhibition
AUTHOR(S): Quibell, Martin; Benn, Alex; Flinn, Nick; Monk, Tracy; Ramjee, Manoj; Wang, Yikang; Watts, John

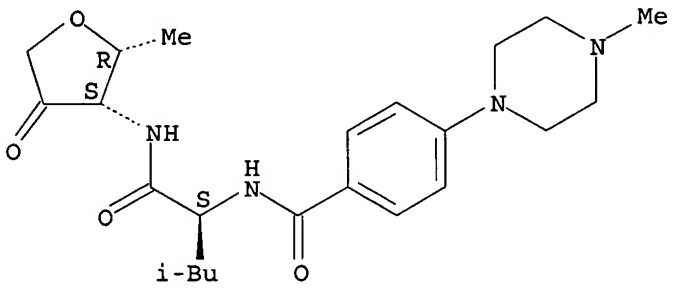
CORPORATE SOURCE: Incenta House, Amura Therapeutics Limited, Comberton, Cambridge, CB3 7AJ, UK
SOURCE: Bioorganic & Medicinal Chemistry (2004), 12(21), 5689-5710
CODEN: BMECEP; ISSN: 0968-0896
PUBLISHER: Elsevier Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 142:38170
IT **474334-72-0P 802918-88-3P**
RL: CPN (Combinatorial preparation); PAC (Pharmacological activity); BIOL (Biological study); CMBI (Combinatorial study); PREP (Preparation)
(stereoselective preparation and cysteinyl proteinase inhibition of tetrahydrofuro[3,2-b]pyrrol-3-ones and hexahydrofuro[3,2-b]pyridin-3-ones)
RN 474334-72-0 HCAPLUS
CN D-threo-2-Pentulose, 1,4-anhydro-3,5-dideoxy-3-[[(2S)-2-[[4-(1,1-dimethylethyl)benzoyl]amino]-4-methyl-1-oxopentyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 802918-88-3 HCAPLUS
CN D-threo-2-Pentulose, 1,4-anhydro-3,5-dideoxy-3-[[(2S)-4-methyl-2-[[4-(4-methyl-1-piperazinyl)benzoyl]amino]-1-oxopentyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 65 THERE ARE 65 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 6 OF 21 HCAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 20 May 2004
GI

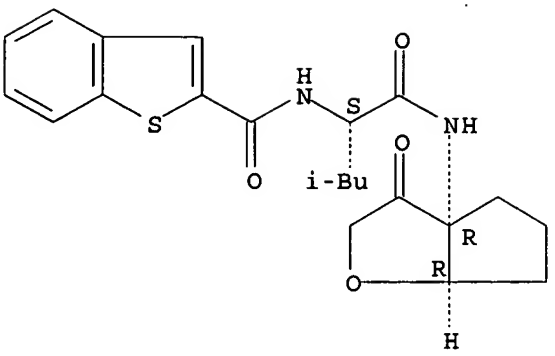
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB A stereoselective synthesis of functionalized (2R,3R)-2,3-dimethyl-3-amidotetrahydrofuran-4-one, its (2S,3R)-epimer and (3aR,6aR)-N-(3-oxo-hexahydrocyclopenta[b]furan-3a-yl)acylamide cysteinyl proteinase inhibitors has been developed using Fmoc-protected scaffolds I (R1 = Me,

R2 = H; R1 = H, R2 = Me) and II in a solid-phase combinatorial strategy. Within these scaffolds, the introduction of an alkyl substituent α to the ketone affords chiral stability to an otherwise configurationally labile mol. Preparation of scaffolds I and II required stereoselective syntheses of suitably protected α-diazomethylketone intermediates III (R1 = Me, R2 = R3 = H, R4 = CH:N2; R1 = H, R2 = Me, F3 = CMe3, R4 = CH:N2) and IV (R1 = H, R2 = OCMe3, R3 = CH:N2), derived from appropriately protected α-methylthreonines (2R,3R)-III (R1 = Me, R2 = H, R3 = H, CMe3, R4 = OH, OCH2CH:CH2), (2R,3S)-III (R1 = H, R2 = Me, R3 = H, CMe3, R4 = OH, OCH2CH:CH2, F) and a protected analog of (1R,2R)-1-amino-2-hydroxycyclopentanecarboxylic acid IV (R1 = H, OH, R2 = OH, OCMe3, H, R3 = OH, OCH2CH:CH2, F). Application of standard methods for the preparation of amino acid α-diazomethylketones, through treatment of the mixed anhydride or pre-formed acyl fluorides of intermediates (2R,3R)-III (R1 = Me, R2 = H, R3 = H, CMe3, R4 = OH, OCH2CH:CH2), (2R,3S)-III (R1 = H, R2 = Me, R3 = H, CMe3, R4 = OH, OCH2CH:CH2, F) and IV (R1 = H, OH, R2 = OH, OCMe3, H, R3 = OH, OCH2CH:CH2, F) with diazomethane, proved troublesome giving complex mixts. However, the desired α-diazomethylketones were isolated and following a lithium chloride/acetic acid promoted insertion reaction provided scaffolds I and II. Elaboration of I and II on the solid phase gave α,β-di-Me monocyclic ketone based inhibitors V (R1 = Me, R2 = H; R1 = H, R2 = Me) and bicyclic inhibitors VI that exhibited low micromolar activity against a variety of cysteinyl proteinases.

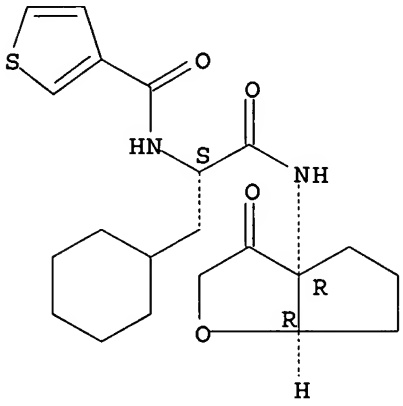
ACCESSION NUMBER: 2004:406949 HCAPLUS
DOCUMENT NUMBER: 141:123878
TITLE: Functionalised 2,3-dimethyl-3-aminotetrahydrofuran-4-one and N-(3-oxo-hexahydrocyclopenta[b]furan-3a-yl)acylamide based scaffolds: synthesis and cysteinyl proteinase inhibition
AUTHOR(S): Watts, John; Benn, Alex; Flinn, Nick; Monk, Tracy; Ramjee, Manoj; Ray, Peter; Wang, Yikang; Quibell, Martin
CORPORATE SOURCE: Amura Therapeutics Limited, Comberton, Cambridge, CB3 7AJ, UK
SOURCE: Bioorganic & Medicinal Chemistry (2004), 12(11), 2903-2925
CODEN: BMECEP; ISSN: 0968-0896
PUBLISHER: Elsevier Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 141:123878
IT **443761-54-4P 443761-55-5P 443924-11-6P 443924-34-3P 443924-45-6P 724427-91-2P 724427-92-3P 724427-93-4P 724428-00-6P 724428-02-8P 724428-04-0P 724428-07-3P 724428-09-5P 724428-11-9P 724428-16-4P 724428-17-5P**
RL: BSU (Biological study, unclassified); CPN (Combinatorial preparation); BIOL (Biological study); CMBI (Combinatorial study); PREP (Preparation)
(stereoselective synthesis of dimethylaminofuranone and (oxocyclopentafuranyl)acylamide scaffolds for combinatorial solid-phase preparation of (furanylcarbamoyl)alkyl amides with cysteinyl proteinase inhibitory activity)
RN 443761-54-4 HCAPLUS
CN Benzo[b]thiophene-2-carboxamide, N-[(1S)-1-[[[(3aR,6aR)-hexahydro-3-oxo-3aH-cyclopenta[b]furan-3a-yl]amino]carbonyl]-3-methylbutyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



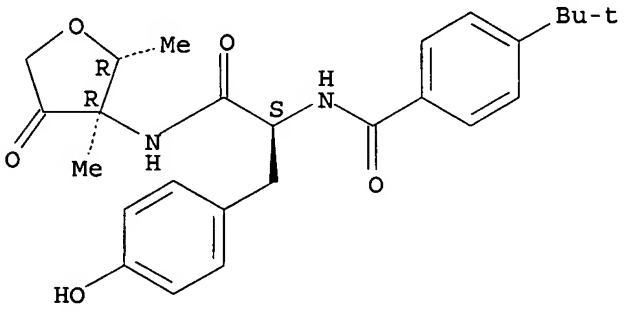
RN 443761-55-5 HCAPLUS
CN 3-Thiophenecarboxamide, N-[(1S)-1-(cyclohexylmethyl)-2-[[(3aR,6aR)-hexahydro-3-oxo-3aH-cyclopenta[b]furan-3a-yl]amino]-2-oxoethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 443924-11-6 HCAPLUS
CN D-erythro-2-Pentulose, 1,4-anhydro-3,5-dideoxy-3-[[(2S)-2-[[4-(1,1-dimethylethyl)benzoyl]amino]-3-(4-hydroxyphenyl)-1-oxopropyl]amino]-3-C-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

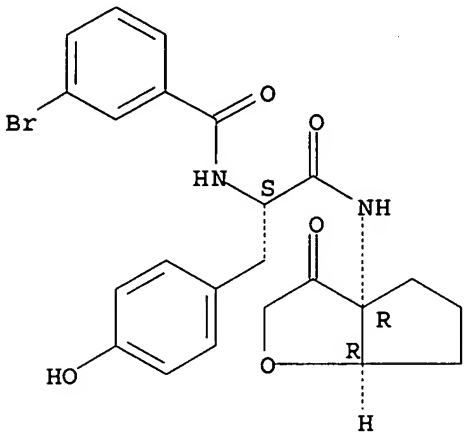


RN 443924-34-3 HCAPLUS
CN D-erythro-2-Pentulose, 1,4-anhydro-3-[[(2S)-3-cyclohexyl-1-oxo-2-[(3-thienylcarbonyl)amino]propyl]amino]-3,5-dideoxy-3-C-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

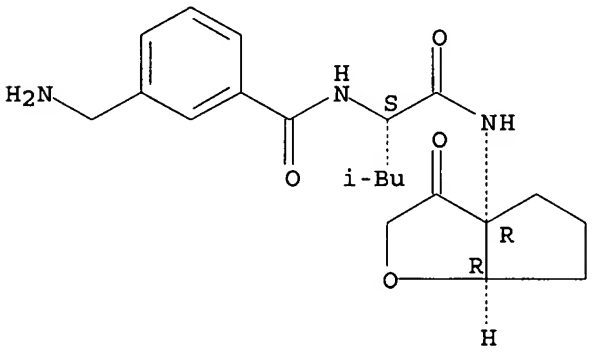
RN 724428-16-4 HCAPLUS
CN Benzenepropanamide, α-[(3-bromobenzoyl)amino]-N-[(3aR,6aR)-hexahydro-3-oxo-3aH-cyclopenta[b]furan-3a-yl]-4-hydroxy-, (αS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



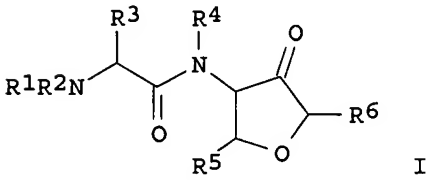
RN 724428-17-5 HCAPLUS
CN Benzamide, 3-(aminomethyl)-N-[(1S)-1-[[[(3aR,6aR)-hexahydro-3-oxo-3aH-cyclopenta[b]furan-3a-yl]amino]carbonyl]-3-methylbutyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 38 THERE ARE 38 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 7 OF 21 HCAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 31 Oct 2003
GI



I

AB The invention relates to furanone derivs. I [R1 = R', R'CO, R'C(S), R'SO2, R'O2C, R'NHCO, where R' is (un)substituted Ph or certain heterocyclic groups; R2, R4 = H, alkyl, cycloalkyl; R3 = alkyl, cycloalkyl, arylalkyl; R5 = alkyl, halo, arylalkyl, alkylcarbonylamino, aminoalkyl, etc.; R6 = H,

alkyl, arylalkyl, alkylcarbonylamino, etc.], which are novel protease inhibitors, particularly inhibitors of the cysteine proteases of the papain superfamily and more particularly to cathepsin S. 3-Furancarboxylic acid [3,3-dimethyl-1S-(2S-methyl-4-oxotetrahydrofuran-3S-ylcarbamoyl)butyl]amide is one of >250 compds. claimed. Ki (μM) measurements for inhibition of mammalian, murine and rat cathepsin S and mammalian L and K are tabulated.

ACCESSION NUMBER: 2003:855653 HCAPLUS
DOCUMENT NUMBER: 139:365225
TITLE: Preparation of furanone amino acid derivatives as inhibitors of cathepsin S
INVENTOR(S): Quibell, Martin; Taylor, Steven; Grabowska, Urszula; Nilsson, Magnus; Morisson, Veronique
PATENT ASSIGNEE(S): UK
SOURCE: U.S. Pat. Appl. Publ., 76 pp., Cont.-in-part of Appl. No. PCT/GB00/01894.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2003203900	A1	20031030	US 2001-15186	20011116
WO 2000069855	A2	20001123	WO 2000-GB1894	20000518
WO 2000069855	A3	20010208		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1413580	A1	20040428	EP 2004-2432	20000518
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, CY				
US 2005070598	A1	20050331	US 2003-678947	20031003
US 2004229915	A1	20041118	US 2004-853408	20040524
US 2005020588	A1	20050127	US 2004-929133	20040827

PRIORITY APPLN. INFO.:

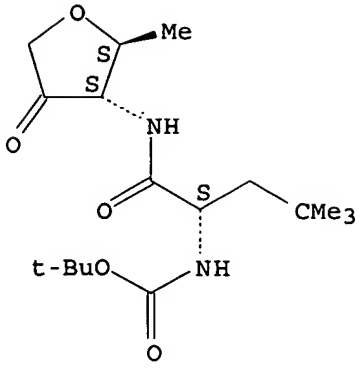
GB 1999-11417	A	19990518
WO 2000-GB1894	A2	20000518
US 2000-252840P	P	20001117
EP 2000-929721	A3	20000518
US 2000-252802P	P	20001117
US 2001-15186	A2	20011116
US 2001-42565	B3	20011116

OTHER SOURCE(S): MARPAT 139:365225

IT **308806-63-5P**
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of furanone amino acid derivs. as inhibitors of cathepsin S)

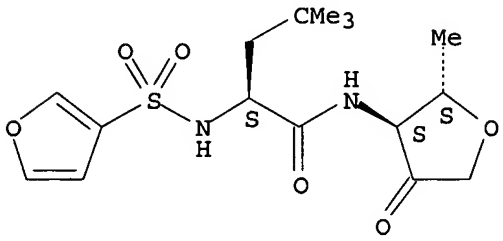
RN 308806-63-5 HCAPLUS
CN L-erythro-2-Pentulose, 1,4-anhydro-3,5-dideoxy-3-[[(2S)-2-[(3-furanylcarbonyl)amino]-4,4-dimethyl-1-oxopentyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



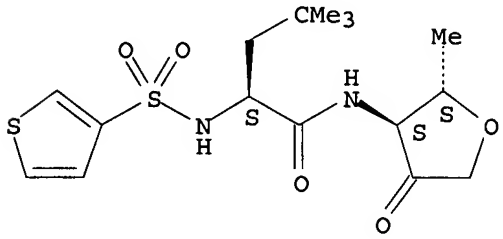
RN 308806-64-6 HCAPLUS
CN L-erythro-2-Pentulose, 1,4-anhydro-3,5-dideoxy-3-[[(2S)-2-[(3-furanylsulfonyl)amino]-4,4-dimethyl-1-oxopentyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



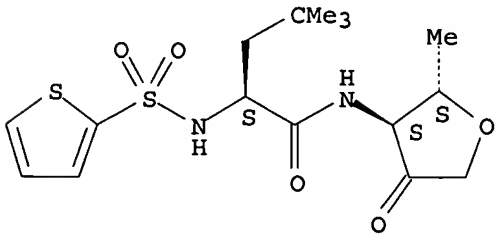
RN 308806-65-7 HCAPLUS
CN L-erythro-2-Pentulose, 1,4-anhydro-3,5-dideoxy-3-[[(2S)-4,4-dimethyl-1-oxo-2-[(3-thienylsulfonyl)amino]pentyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 308806-66-8 HCAPLUS
CN L-erythro-2-Pentulose, 1,4-anhydro-3,5-dideoxy-3-[[(2S)-4,4-dimethyl-1-oxo-2-[(2-thienylsulfonyl)amino]pentyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 8 OF 21 HCAPLUS COPYRIGHT 2006 ACS on STN

ED Entered STN: 09 May 2003

AB Amino acid amide derivs. R6N:CR1NR4CR2R3C(:X)NR4-Y and R6R8NCR1:NCR2R3C(:X)NR4-Y [Y is (un)substituted 3-oxotetrahydro-4-pyranyl or -3-furanyl; R1 is a bond, H, (un)substituted alkyl, alkoxy, aryloxy, cycloalkyl, cycloalkyloxy, aryl, benzyl, tetrahydronaphthyl, indenyl, indanyl, alkylsulfonylalkyl, cycloalkylsulfonylalkyl, arylsulfonylalkyl, heterocyclyl, heterocyclyloxy, hydroxy or amino; R2 is H or alkyl; R3 is a bond, H, (un)substituted (hetero)alkyl, alkylene, heterocyclylalkyl, cycloalkyl, arylalkyl or aryl; or CR2R3 is a nonarom. cycloalkyl or heterocyclic ring; R4 is H, OH or alkyl; R6 is H, OH, CN or (un)substituted (halo)(hetero)alk(en)(yn)yl; or R1 and R6 form a ring; R8 is H, (un)substituted (hetero)alkyl; X is O, S, :NR6] were prepared as novel cathepsin S, K, F, L and B reversible inhibitors for treating autoimmune and other diseases. Thus, (S)-3-cyclohexyl-N-[(2S,3S)-2-methyl-4-oxotetrahydrofuran-3-yl]-2-[2-oxo-2H-benzo[e][1,3]oxazin-4-ylamino]propionamide was prepared via coupling of (2S,3S)-3-amino-2-methyl-4-oxotetrahydrofuran hydrochloride (preparation given) with (S)-N-(tert-butoxycarbonyl)cyclohexylalanine. Compds. of the invention showed IC50 values ≤ 100 micromolar for inhibition of cathepsins S, K, F, L and B.

ACCESSION NUMBER: 2003:356444 HCAPLUS
DOCUMENT NUMBER: 138:338493

TITLE: Preparation of amino acid amide derivatives as reversible inhibitors of cysteine proteases

INVENTOR(S): Bekkali, Younes; Spero, Denice Mary; Sun, Sanxing; Ward, Yancey David

PATENT ASSIGNEE(S): Boehringer Ingelheim Pharmaceuticals, Inc., USA

SOURCE: PCT Int. Appl., 145 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003037892	A1	20030508	WO 2002-US34034	20021024
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2463770	AA	20030508	CA 2002-2463770	20021024
US 2004053921	A1	20040318	US 2002-279424	20021024
US 6841571	B2	20050111		
EP 1444226	A1	20040811	EP 2002-770658	20021024
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
JP 2005508979	T2	20050407	JP 2003-540173	20021024
US 2005026904	A1	20050203	US 2004-926803	20040826

PRIORITY APPLN. INFO.:
US 2001-340719P P 20011029
US 2002-279424 A3 20021024
WO 2002-US34034 W 20021024

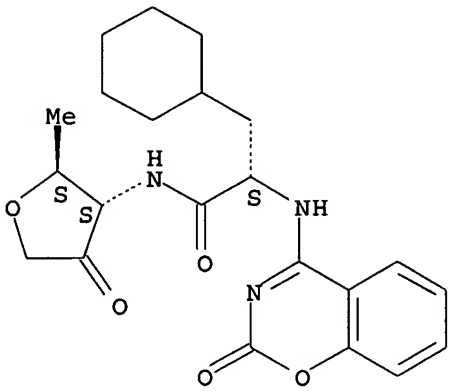
OTHER SOURCE(S): MARPAT 138:338493

IT **518037-86-0P 518037-89-3P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of amino acid amide derivs. as reversible inhibitors of cysteine proteases)

RN 518037-86-0 HCAPLUS

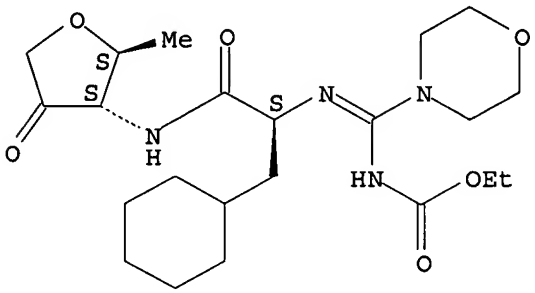
CN L-erythro-2-Pentulose, 1,4-anhydro-3-[[(2S)-3-cyclohexyl-1-oxo-2-[(2-oxo-2H-1,3-benzoxazin-4-yl)amino]propyl]amino]-3,5-dideoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



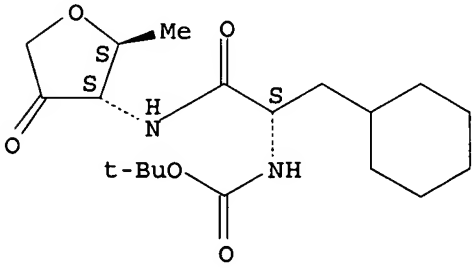
RN 518037-89-3 HCAPLUS
CN L-erythro-2-Pentulose, 1,4-anhydro-3-[[(2S)-3-cyclohexyl-2-[[[(ethoxycarbonyl)amino]-4-morpholinylmethylene]amino]-1-oxopropyl]amino]-3,5-dideoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



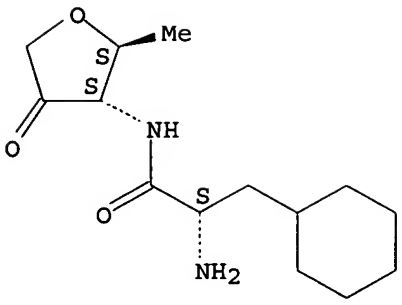
IT **518037-98-4P 518038-01-2P 518038-08-9P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of amino acid amide derivs. as reversible inhibitors of cysteine proteases)
RN 518037-98-4 HCAPLUS
CN L-erythro-2-Pentulose, 1,4-anhydro-3-[[(2S)-3-cyclohexyl-2-[[(1,1-dimethylethoxy)carbonyl]amino]-1-oxopropyl]amino]-3,5-dideoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 518038-01-2 HCAPLUS
CN L-erythro-2-Pentulose, 3-[[(2S)-2-amino-3-cyclohexyl-1-oxopropyl]amino]-1,4-anhydro-3,5-dideoxy-, monohydrochloride (9CI) (CA INDEX NAME)

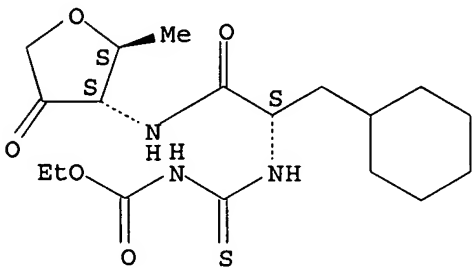
Absolute stereochemistry.



● HCl

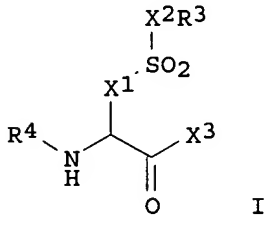
RN 518038-08-9 HCAPLUS
CN L-erythro-2-Pentulose, 1,4-anhydro-3-[[(2S)-3-cyclohexyl-2-[[[(ethoxycarbonyl)amino]thioxomethyl]amino]-1-oxopropyl]amino]-3,5-dideoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

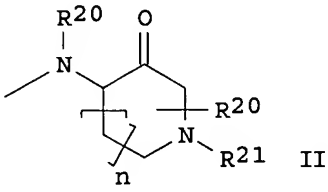


REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

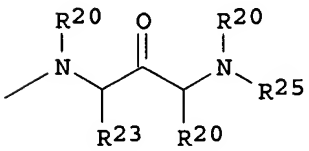
L4 ANSWER 9 OF 21 HCAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 28 Mar 2003
GI



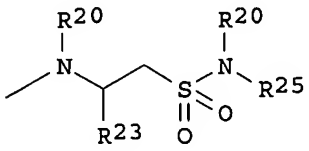
I



II



III



IV

AB Compds. I [X1 = X2 methylene, or X1 = ethylene and X2 is a bond; R3 = CR5:CHR6, CR5(CR63)2, CR7:NR8 [R5 = H and R6 = H, or alkyl, or R5, R6 together and R7, R8 together form (hetero)cycloalkenyl, (hetero)aryl, (hetero)bicycloaryl], (un)substituted alkyl, cyano, halo, nitro, etc.; R4

= (un)substituted COX5R11, SO2X5R11 [X5 is a bond, O, NH, or aminoalkyl; R11 = (un)substituted alkyl]; X3 is group II, III, or IV [n = 0-2; R20 = H, alkyl, (hetero)cycloalkylalkyl, (hetero)arylalkyl; R21 = H, alkyl, (hetero)cycloalkylalkyl, (hetero)arylalkyl, (hetero)bicycloalkyl, (hetero)bicycloarylalkyl, etc.; R23 and R25 = (un)substituted (hetero)alkyl, alkenyl, (hetero)cycloalkylalkyl, etc.]] were prepared as cathepsin S inhibitors. Thus, 2-amino-2-methyl-1-(2-phenyl-[1,3]dithian-2-yl)-propan-1-ol prepared by addition of (1,1-dimethyl-2-oxo-ethyl)-carbamic acid tert-Bu ester to 2-phenyl-1,3-dithiane and deprotection was coupled with 2-[(morpholine-4-carbonyl)-amino]-3-phenylmethanesulfonyl-propionic acid, and after treatment with calcium carbonate and mercury chloride, followed by Dess-Martin oxidation gave morpholine-4-carboxylic acid [1-(2-hydroxy-1,1-dimethyl-3-oxo-3-phenylpropylcarbamoyl)-2-phenylmethanesulfonylethyl]amide. The inhibition consts. for compds. of the invention against Cathepsin S were in the range from about 10-10 M to about 10-7 M.

ACCESSION NUMBER: 2003:242294 HCAPLUS
DOCUMENT NUMBER: 138:271977
TITLE: Novel compounds and compositions as Cathepsin inhibitors
INVENTOR(S): Graupe, Michael; Palmer, James T.; Aldous, David J.; Thurairatnam, Sukanthini
PATENT ASSIGNEE(S): Aventis Pharmaceuticals Inc., USA; Celera
SOURCE: PCT Int. Appl., 101 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

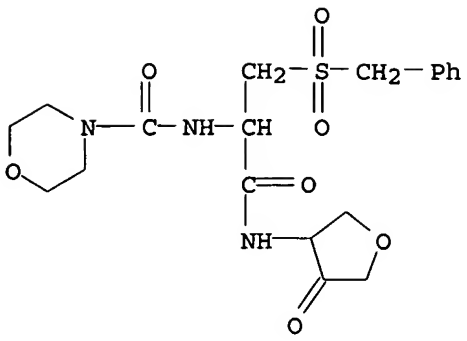
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003024924	A1	20030327	WO 2002-US29323	20020916
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2460125	AA	20030327	CA 2002-2460125	20020916
EP 1436255	A1	20040714	EP 2002-798975	20020916
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
BR 2002012535	A	20041019	BR 2002-12535	20020916
CN 1553892	A	20041208	CN 2002-817890	20020916
JP 2005504078	T2	20050210	JP 2003-528772	20020916
US 2004192742	A1	20040930	US 2004-787367	20040226
ZA 2004001882	A	20050418	ZA 2004-1882	20040308
NO 2004000996	A	20040512	NO 2004-996	20040309

PRIORITY APPLN. INFO.:	US 2001-322318P	P	20010914
	WO 2002-US29323	W	20020916

OTHER SOURCE(S): MARPAT 138:271977

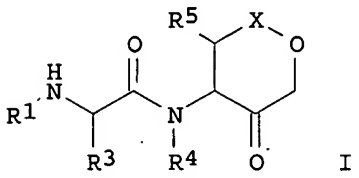
IT **503323-78-2P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of cathepsin S inhibitors by peptide coupling and oxidn)

RN 503323-78-2 HCAPLUS
CN 4-Morpholinecarboxamide, N-[2-oxo-1-[[(phenylmethyl)sulfonyl]methyl]-2-[(tetrahydro-4-oxo-3-furanyl)amino]ethyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 10 OF 21 HCAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 08 Nov 2002
GI



I

AB Compds. I [R1 = R'CO or R'SO2, where R' is a mono- or bicyclic (un)saturated ring system which may have hetero atoms S, O or N and may be substituted; R3 = (cyclo)alkyl, alkenyl, alkenyl, arylalkyl, aryl; R4 = H, (cyclo)alkyl, arylalkyl, aryl, alkenyl; R5 = alkyl, halo, arylalkyl, carbamoylalkanoyl or certain bulky amines; X = (CHR6)q, where R6 = H, alkyl, arylalkyl, or a sulfonylalkyl group and q = 0 or 1] or their pharmaceutically-acceptable salts were prepared as inhibitors of cysteine proteases such as cathepsin K and falcipain. Compds. I were synthesized by a combination of chemistries, performed either in solution or on the solid phase (schemes shown). Mols. were assembled using the furanone and pyranone building blocks and novel protected amino acids by solid phase procedures on Chiron multipins. Several compds. I, e.g., benzofuran-2-carboxylic acid [3-methyl-1S-(2R-methyl-4-oxotetrahydrofuran-3S-ylcarbamoyl)butyl]amide, inhibited falcipain 2 catalytic activity, showing Ki values at pH 7 of 0.5-2.7 μM. Cloning and expression of falcipain 2 are discussed.

ACCESSION NUMBER: 2002:849612 HCAPLUS
DOCUMENT NUMBER: 137:370361
TITLE: Preparation of furanone and pyranone amino acid derivatives as cysteine protease inhibitors
INVENTOR(S): Quibell, Martin; Taylor, Steven; Grabowska, Urszula; Nilsson, Magnus; Morisson, Veronique
PATENT ASSIGNEE(S): Medivir AB, Swed.
SOURCE: PCT Int. Appl., 113 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002088106	A2	20021107	WO 2001-IB2906	20011116
WO 2002088106	A3	20030904		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,

GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT,
RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ,
VN, YU, ZA, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB,
GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA,
GN, GQ, GW, ML, MR, NE, SN, TD, TG

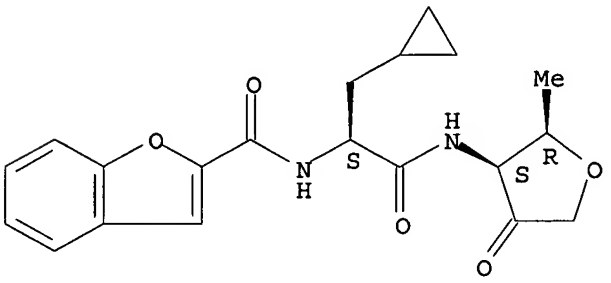
CA 2429001	AA	20021107	CA 2001-2429001		20011116
US 2003186962	A1	20031002	US 2001-42565		20011116
EP 1358183	A2	20031105	EP 2001-273876		20011116
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR					
JP 2004520439	T2	20040708	JP 2002-585406		20011116
PRIORITY APPLN. INFO.:			US 2000-252802P	P	20001117
			US 2000-252840P	P	20001117
			WO 2001-IB2906	W	20011116

OTHER SOURCE(S): MARPAT 137:370361

IT **474334-61-7P 474334-62-8P 474334-63-9P**
474334-64-0P 474334-65-1P 474334-66-2P
474334-67-3P 474334-68-4P 474334-69-5P
474334-70-8P 474334-71-9P 474334-72-0P
474334-74-2P 474334-76-4P 474334-78-6P
474334-79-7P 474334-80-0P 474334-95-7P
474334-96-8P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of furanone and pyranone amino acid derivs. as cysteine protease inhibitors)

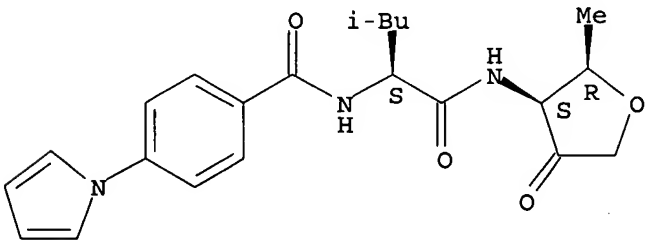
RN 474334-61-7 HCAPLUS
CN D-threo-2-Pentulose, 1,4-anhydro-3-[[(2S)-2-[(2-benzofuranylcarbonyl)amino]-3-cyclopropyl-1-oxopropyl]amino]-3,5-dideoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

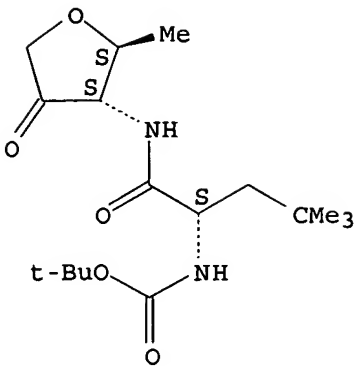


RN 474334-62-8 HCAPLUS
CN D-threo-2-Pentulose, 1,4-anhydro-3,5-dideoxy-3-[[(2S)-4-methyl-1-oxo-2-[[4-(1H-pyrrol-1-yl)benzoyl]amino]pentyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

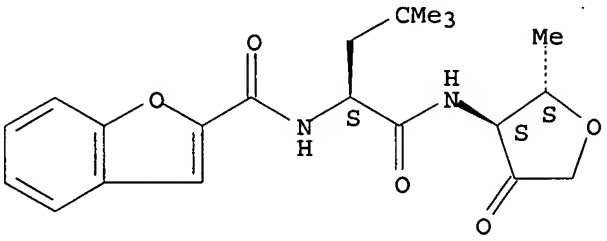


RN 474334-63-9 HCAPLUS
CN D-threo-2-Pentulose, 1,4-anhydro-3,5-dideoxy-3-[[(2S)-4-methyl-2-[(1-naphthalenylcarbonyl)amino]-1-oxopentyl]amino]- (9CI) (CA INDEX NAME)

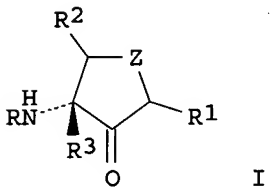


RN 474334-58-2 HCAPLUS
CN L-erythro-2-Pentulose, 1,4-anhydro-3-[[(2S)-2-[(2-benzofuranylcarbonyl)amino]-4,4-dimethyl-1-oxopentyl]amino]-3,5-dideoxy-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 11 OF 21 HCAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 26 Jul 2002
GI



I

AB Title compds. I [R1, R2 = H, alkyl, cycloalkyl, aryl, arylalkyl; Z = O, S, CH2; R3 = alkyl, cycloalkyl, aryl, arylalkyl; R = U-Vm-Wn-Xm'-Y, where Y = CR4R5CO (R4-R10 = any group given for R1); X = CR6R7; W = O, S, CO, SO, SO2, NR8; V = CO, CS, SO, SO2, SO2NH, O2C, NHCO, NHSO, NHSO2, O2CNH, CONH, CR9R10; m, m' = 0-3; n = 0 or 1; U = a stable 5- to 7-membered monocyclic or 8- to 11-membered bicyclic ring containing 0-4 heteroatoms (provided that for m > 1, Vm contains a maximum of one carbonyl or sulfonyl group)] were prepared as inhibitors cruzipain (a gene product of Trypanosoma cruzi parasite) and other cysteine proteases for use as therapeutic agents, for example in the treatment of Chagas' disease. Thus, N-(2-pyridin-3-ylthiazole-4-carbonyl)-L-tyrosine [(R,R)-2,3-dimethyl-4-oxotetrahydrofuran-3-yl]amide was prepared and assayed for inhibition of cruzipain, bovine cathepsin S, and human cathepsins L and K (Ki = <2, >50, >50, and >100 μM, resp.).

ACCESSION NUMBER: 2002:555478 HCAPLUS
DOCUMENT NUMBER: 137:125391
TITLE: Preparation of 4-(acylamino)tetrahydro-3-furanones or -3-thiophenones and 2-(acylamino)cyclopentanones as inhibitors of cruzipain and other cysteine proteases
INVENTOR(S): Quibell, Martin

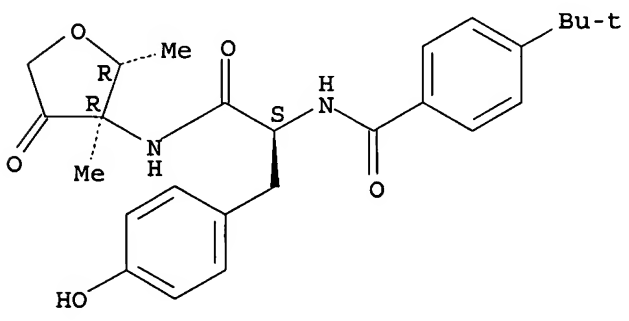
PATENT ASSIGNEE(S): Incenta Limited, UK
SOURCE: PCT Int. Appl., 135 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

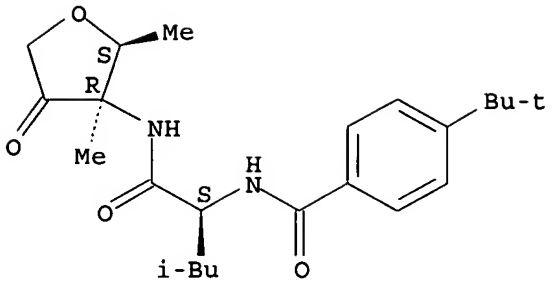
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002057249	A1	20020725	WO 2002-GB190	20020117
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2435117	AA	20020725	CA 2002-2435117	20020117
EP 1362042	A1	20031119	EP 2002-732147	20020117
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2004522738	T2	20040729	JP 2002-557930	20020117
NZ 526914	A	20050225	NZ 2002-526914	20020117
ZA 2003005262	A	20040517	ZA 2003-5262	20030708
US 2004127549	A1	20040701	US 2004-466474	20040108

PRIORITY APPLN. INFO.:	GB 2001-1187	A	20010117
	US 2001-275505P	P	20010313
	WO 2002-GB190	W	20020117

OTHER SOURCE(S): MARPAT 137:125391
IT **443924-11-6P 443924-12-7P 443924-13-8P**
443924-14-9P 443924-15-0P 443924-16-1P
443924-17-2P 443924-18-3P 443924-19-4P
443924-20-7P 443924-21-8P 443924-22-9P
443924-23-0P 443924-24-1P 443924-25-2P
443924-26-3P 443924-27-4P 443924-28-5P
443924-29-6P 443924-30-9P 443924-31-0P
443924-32-1P 443924-33-2P 443924-34-3P
443924-35-4P 443924-36-5P 443924-37-6P
443924-38-7P 443924-39-8P 443924-45-6P
443924-46-7P 443924-47-8P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of (acylamino)tetrahydrofuranones or -thiophenones and -cyclopentanones as inhibitors of cruzipain and other cysteine proteases)
RN 443924-11-6 HCAPLUS
CN D-erythro-2-Pentulose, 1,4-anhydro-3,5-dideoxy-3-[[(2S)-2-[[4-(1,1-dimethylethyl)benzoyl]amino]-3-(4-hydroxyphenyl)-1-oxopropyl]amino]-3-C-methyl- (9CI) (CA INDEX NAME)

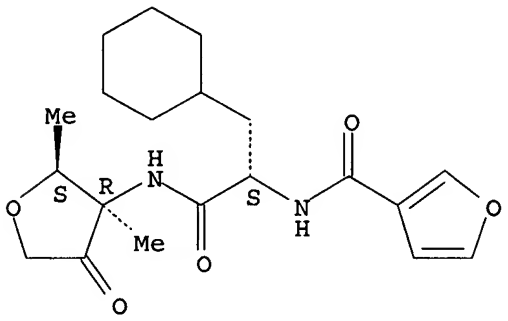
Absolute stereochemistry.





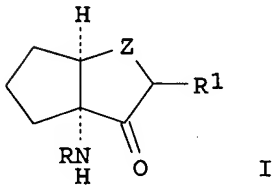
RN 443924-47-8 HCAPLUS
CN L-threo-2-Pentulose, 1,4-anhydro-3-[[(2S)-3-cyclohexyl-2-[(3-furanylcarbonyl)amino]-1-oxopropyl]amino]-3,5-dideoxy-3-C-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 12 OF 21 HCAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 26 Jul 2002
GI



I

AB Title compds. I [R1 = H, alkyl, cycloalkyl, aryl, arylalkyl; Z = O, S, CR2R3 (R2, R3 is any group given for R1 or R1O, R1S, R1NH, R12N), or NR4 (R4-R11 is any group given for R1); R = U-Vm-Wn-Xm'-Y, where Y = CR5R6CO; X = CR7R8; W = O, S, CO, SO, SO2, NR9; V = CO, CS, SO, SO2, SO2NH, O2C, NHCO, NHSO, NHSO2, O2CNH, CONH, or CR10R11; m, m' = 0-3, n = 0 or 1; U = a stable 5- to 7-membered monocyclic or 8- to 11-membered bicyclic ring containing 0-4 heteroatoms (provided that for m > 1, Vm contains a maximum of one carbonyl or sulfonyl group)] were prepared as inhibitors cruzipain (a gene product of Trypanosoma cruzi parasite) and other cysteine proteases for use as therapeutic agents, for example in the treatment of Chagas' disease. Thus, I (R1 = H, Z = O, R = p-tert-BuC6H4CO-Tyr) (II) was prepared via intermediate (3aR,6aR)-[3-oxohexahydrocyclopenta[b]furan-3a-yl]carbamic acid 9H-fluoren-9-ylmethyl ester (8), which is available by a multistep procedure starting from cyclopentanone. Compound 8 was attached to a linker and solid phase for coupling reactions with Fmoc-Tyr(OBut)-OH (Fmoc = fluorenylmethoxycarbonyl) and 4-tert-butylbenzoic acid. II was assayed for inhibition of cruzipain, bovine cathepsin S, and human

cathepsins L and K (Ki = <2, >50, >20, and >100 μM, resp.).

ACCESSION NUMBER: 2002:555475 HCAPLUS
DOCUMENT NUMBER: 137:109484
TITLE: Preparation of 1-aminocyclopentanecarboxylic acid-derived bicyclic compounds as inhibitors of cruzipain and other cysteine proteases
INVENTOR(S): Quibell, Martin; Ramjee, Manoj Kumar
PATENT ASSIGNEE(S): Incenta Limited, UK
SOURCE: PCT Int. Appl., 118 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002057246	A2	20020725	WO 2002-GB194	20020117
WO 2002057246	A3	20021121		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2434068	AA	20020725	CA 2002-2434068	20020117
EP 1358176	A2	20031105	EP 2002-715508	20020117
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2004520365	T2	20040708	JP 2002-557927	20020117
NZ 526912	A	20050225	NZ 2002-526912	20020117
ZA 2003005260	A	20040513	ZA 2003-5260	20030708
US 2004106805	A1	20040603	US 2004-466385	20040108
US 6958358	B2	20051025		

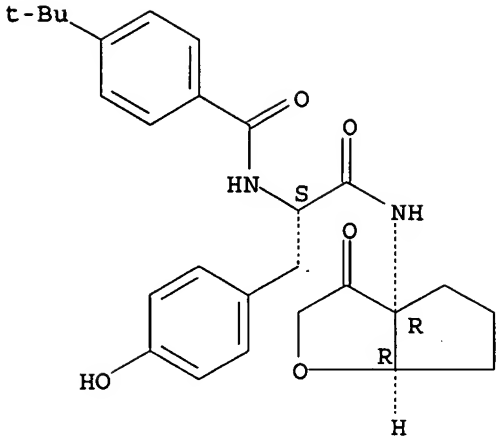
PRIORITY APPLN. INFO.:	GB 2001-1204	A	20010117
	US 2001-275506P	P	20010313
	WO 2002-GB194	W	20020117

OTHER SOURCE(S): MARPAT 137:109484

IT **443761-49-7P 443761-50-0P 443761-51-1P 443761-52-2P 443761-53-3P 443761-54-4P 443761-55-5P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of aminocyclopentanecarboxylic acid-derived bicyclic compds. as inhibitors of cruzipain and other cysteine proteases)

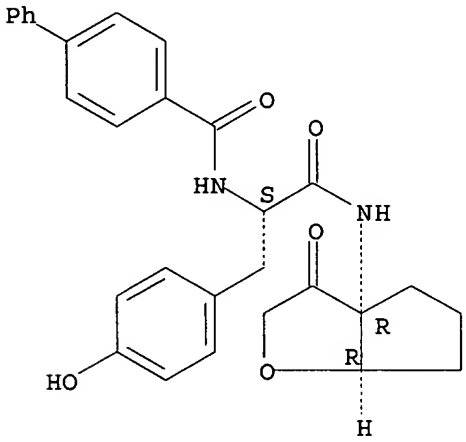
RN 443761-49-7 HCAPLUS
CN Benzenepropanamide, α-[[4-(1,1-dimethylethyl)benzoyl]amino]-N-[(3aR,6aR)-hexahydro-3-oxo-3aH-cyclopenta[b]furan-3a-yl]-4-hydroxy-, (αS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



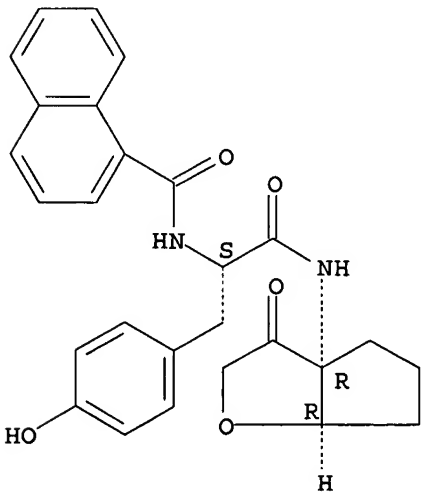
RN 443761-50-0 HCAPLUS
CN [1,1'-Biphenyl]-4-carboxamide, N-[(1S)-2-[[(3aR,6aR)-hexahydro-3-oxo-3aH-cyclopenta[b]furan-3a-yl]amino]-1-[(4-hydroxyphenyl)methyl]-2-oxoethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

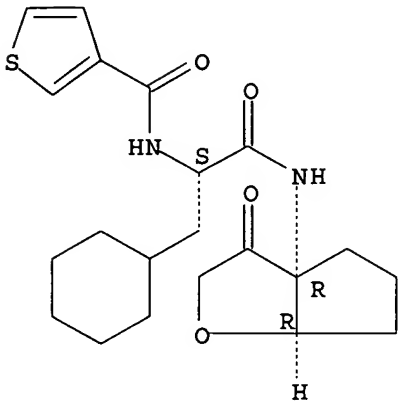


RN 443761-51-1 HCAPLUS
CN 1-Naphthalenecarboxamide, N-[(1S)-2-[[(3aR,6aR)-hexahydro-3-oxo-3aH-cyclopenta[b]furan-3a-yl]amino]-1-[(4-hydroxyphenyl)methyl]-2-oxoethyl]- (9CI) (CA INDEX NAME)

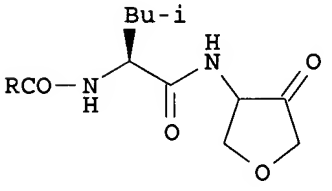
Absolute stereochemistry.



Absolute stereochemistry.



L4 ANSWER 13 OF 21 HCAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 05 Feb 2001
GI



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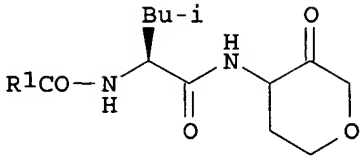
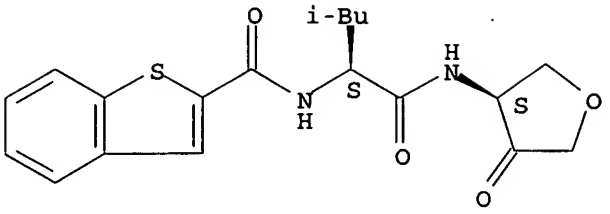


II

AB The diastereoselective synthesis of a novel class of cathepsin K inhibitors together with their cathepsin K affinity and stability towards aqueous buffer is reported. For example, cathepsin K inhibition activity of cyclic alkoxyketone leucinamides I (R = 2-benzo[b]thiophenyl, 2-naphthyl, 2-quinolyl) and II (R1 = 2-benzo[b]thiophenyl, 2-naphthyl, 3,4-dimethoxybenzyl) were reported.

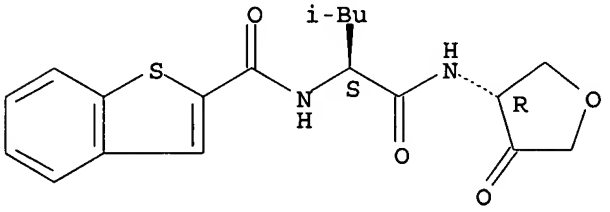
ACCESSION NUMBER: 2001:83669 HCAPLUS
DOCUMENT NUMBER: 134:311404
TITLE: Diastereoselective synthesis, activity and chiral stability of cyclic alkoxyketone inhibitors of cathepsin K
AUTHOR(S): Fenwick, A. E.; Gribble, A. D.; Ife, R. J.; Stevens, N.; Witherington, J.
CORPORATE SOURCE: Department of Medicinal Chemistry, SmithKline Beecham Pharmaceuticals, Essex, Harlow, CM19 5AD, UK
SOURCE: Bioorganic & Medicinal Chemistry Letters (2001), 11(2), 199-202
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 134:311404
IT **215940-27-5P 215940-28-6P 215940-29-7P 215940-30-0P 215940-32-2P 215940-33-3P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation, chiral stability and biol. activity of N-acylleucinamide cyclic alkoxyketones as inhibitors of cathepsin K)
RN 215940-27-5 HCAPLUS
CN Benzo[b]thiophene-2-carboxamide, N-[(1S)-3-methyl-1-[[[(3S)-tetrahydro-4-oxo-3-furanyl]amino]carbonyl]butyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



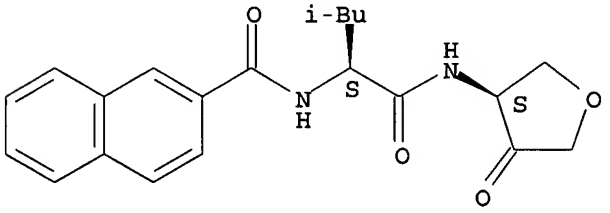
RN 215940-28-6 HCAPLUS
CN Benzo[b]thiophene-2-carboxamide, N-[(1S)-3-methyl-1-[[[(3R)-tetrahydro-4-oxo-3-furanyl]amino]carbonyl]butyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



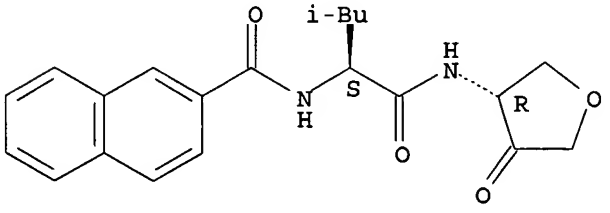
RN 215940-29-7 HCAPLUS
CN 2-Naphthalenecarboxamide, N-[(1S)-3-methyl-1-[[[(3S)-tetrahydro-4-oxo-3-furanyl]amino]carbonyl]butyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



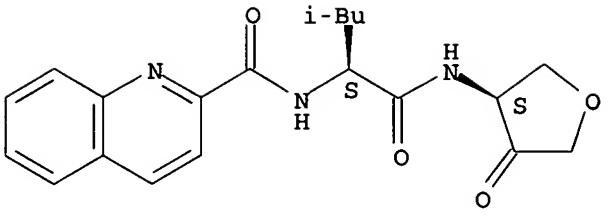
RN 215940-30-0 HCAPLUS
CN 2-Naphthalenecarboxamide, N-[(1S)-3-methyl-1-[[[(3R)-tetrahydro-4-oxo-3-furanyl]amino]carbonyl]butyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



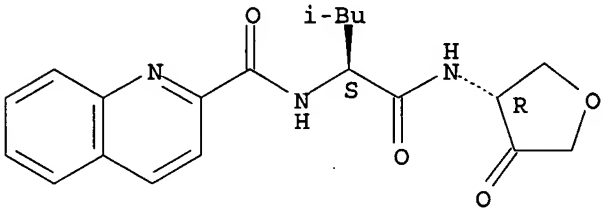
RN 215940-32-2 HCAPLUS
CN 2-Quinolinecarboxamide, N-[(1S)-3-methyl-1-[[[(3S)-tetrahydro-4-oxo-3-furanyl]amino]carbonyl]butyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



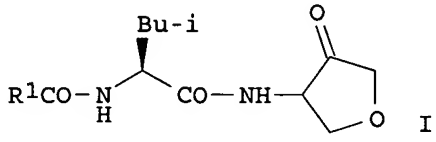
RN 215940-33-3 HCAPLUS
CN 2-Quinolinecarboxamide, N-[(1S)-3-methyl-1-[[[(3R)-tetrahydro-4-oxo-3-furanyl]amino]carbonyl]butyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 14 OF 21 HCAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 05 Feb 2001
GI



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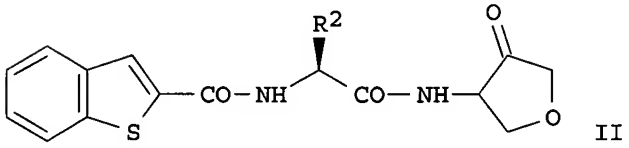


II

AB Using solid-phase synthesis, a library of the title compds. was prepared as potent inhibitors of cysteine protease, cathepsin K (EC 3.4.22.38). For example, the title compds. in the form of N-acylamino acid amides (with 4-aminotetrahydrofuran-3-one) I (R1 = Me, Ph, C6H4Ph-4, C6H4NO2-3, cyclohexyl, 4-isopropylphenyl, 4-tert-butylphenyl, 3,4-difluorophenyl, etc.) and II [R2 = H, Me, i-Pr, Pr, CH2Ph, (CH2)4NH2, (CH2)2CONH2, (CH2)2CO2H, CH(Me)OH, cyclohexylmethyl, imidazolylmethyl] were prepared, and the values of their inhibitory activities against human cathepsin K were given.

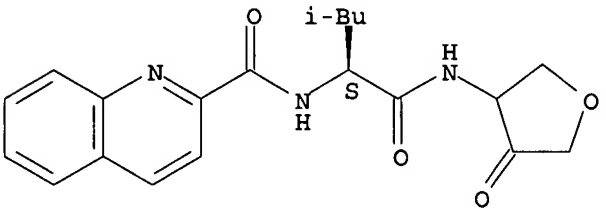
ACCESSION NUMBER: 2001:83668 HCAPLUS
DOCUMENT NUMBER: 134:296054
TITLE: Solid-phase synthesis of cyclic alkoxyketones, inhibitors of the cysteine protease cathepsin K
AUTHOR(S): Fenwick, A. E.; Garnier, B.; Gribble, A. D.; Ife, R. J.; Rawlings, A. D.; Witherington, J.
CORPORATE SOURCE: Department of Medicinal Chemistry, SmithKline Beecham Pharmaceuticals, Essex, Harlow, CM19 5AD, UK
SOURCE: Bioorganic & Medicinal Chemistry Letters (2001), 11(2), 195-198

CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 134:296054

IT **215939-90-5P 215939-95-0P 215940-00-4P**
215940-02-6P 215940-15-1P 215940-19-5P
334710-25-7P 334710-27-9P 334710-30-4P
334710-34-8P 334710-41-7P 334710-45-1P
334710-49-5P 334710-52-0P 334710-58-6P
334710-62-2P 334710-70-2P 334710-72-4P
334710-74-6P 334710-77-9P 334710-79-1P
334710-80-4P 334710-82-6P 334710-84-8P
334710-87-1P 334710-89-3P 334710-90-6P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(solid-phase preparation of amino acid amides of (amino)tetrahydrofuranone as inhibitors of cathepsin K)

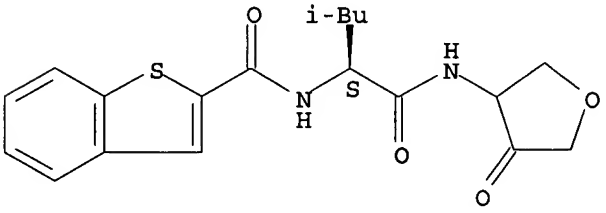
RN 215939-90-5 HCAPLUS
CN 2-Quinolinecarboxamide, N-[(1S)-3-methyl-1-[[(tetrahydro-4-oxo-3-furanyl)amino]carbonyl]butyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



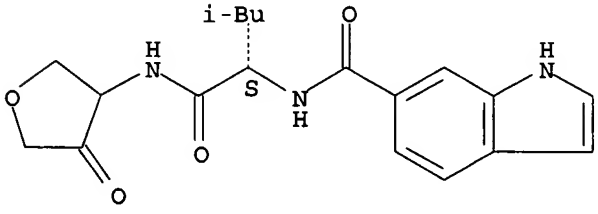
RN 215939-95-0 HCAPLUS
CN Benzo[b]thiophene-2-carboxamide, N-[(1S)-3-methyl-1-[[(tetrahydro-4-oxo-3-furanyl)amino]carbonyl]butyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 215940-00-4 HCAPLUS
CN 1H-Indole-6-carboxamide, N-[(1S)-3-methyl-1-[[(tetrahydro-4-oxo-3-furanyl)amino]carbonyl]butyl]- (9CI) (CA INDEX NAME)

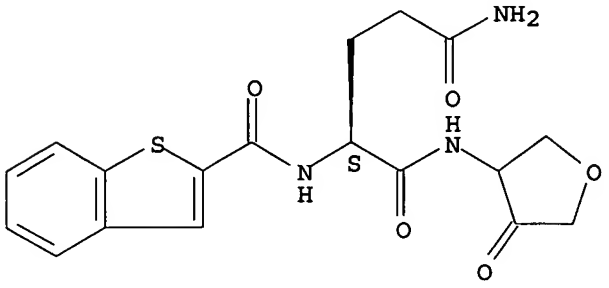
Absolute stereochemistry.



RN 215940-02-6 HCAPLUS
CN 2-Benzofurancarboxamide, N-[(1S)-3-methyl-1-[[(tetrahydro-4-oxo-3-

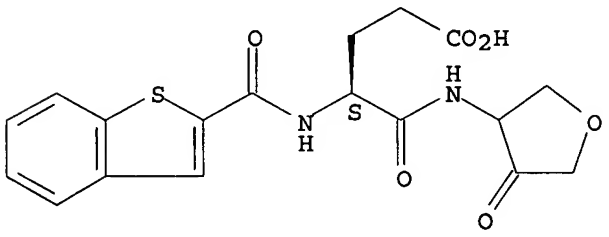
RN 334710-87-1 HCAPLUS
CN Pentanediamide, 2-[(benzo[b]thien-2-ylcarbonyl)amino]-N1-(tetrahydro-4-oxo-3-furanyl)-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



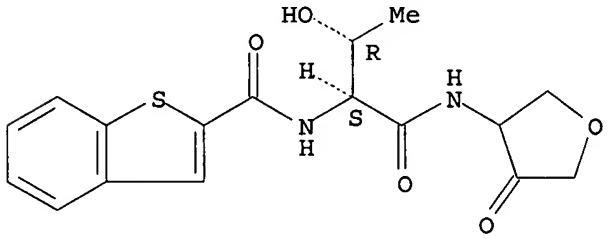
RN 334710-89-3 HCAPLUS
CN Pentanoic acid, 4-[(benzo[b]thien-2-ylcarbonyl)amino]-5-oxo-5-[(tetrahydro-4-oxo-3-furanyl)amino]-, (4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 334710-90-6 HCAPLUS
CN Benzo[b]thiophene-2-carboxamide, N-[(1S,2R)-2-hydroxy-1-[[(tetrahydro-4-oxo-3-furanyl)amino]carbonyl]propyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 15 OF 21 HCAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 24 Jan 2001
AB Cathepsin K (EC 3.4.22.38), a cysteine protease of the papain superfamily, is predominantly expressed in osteoclasts and has been postulated as a target for the treatment of osteoporosis. Crystallog. and structure-activity studies on a series of acyclic ketone-based inhibitors of cathepsin K have led to the design and identification of two series of cyclic ketone inhibitors. The mode of binding for four of these cyclic and acyclic inhibitors to cathepsin K is discussed and compared. All of the structures are consistent with addition of the active site thiol to the ketone of the inhibitors with the formation of a hemithioketal. Cocrystn. of the C-3 diastereomeric 3-amidotetrahydrofuran-4-one analog with cathepsin K showed the inhibitor to occupy the unprimed side of the active site with the 3S diastereomer preferred. This C-3 stereochem. preference is in contrast to the x-ray cocrystal structures of the

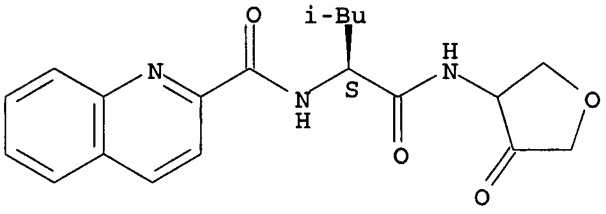
3-amidopyrrolidin-4-one inhibitors which show these inhibitors to prefer binding of the 3R diastereomer. The 3-amidopyrrolidin-4-one inhibitors were bound in the active site of the enzyme in two alternate directions. Epimerization issues associated with the labile α-amino ketone diastereomeric center contained within these inhibitor classes has proven to limit their utility despite promising pharmacokinetics displayed in both series of compds.

ACCESSION NUMBER: 2001:55540 HCAPLUS
DOCUMENT NUMBER: 134:246869
TITLE: Cyclic Ketone Inhibitors of the Cysteine Protease Cathepsin K
AUTHOR(S): Marquis, Robert W.; Ru, Yu; Zeng, Jin; Trout, Robert E. Lee; LoCastro, Stephen M.; Gribble, Andrew D.; Witherington, Jason; Fenwick, Ashley E.; Garnier, Benedicte; Tomaszek, Thaddeus; Tew, David; Hemling, Mark E.; Quinn, Chad J.; Smith, Ward W.; Zhao, Baoguang; McQueney, Michael S.; Janson, Cheryl A.; D'Alessio, Karla; Veber, Daniel F.
CORPORATE SOURCE: Departments of Medicinal Chemistry (U.S.A.) Medicinal Chemistry (U.K.) Molecular Recognition Physical and Structural Chemistry Structural Biology and Protein Biochemistry GlaxoSmithKline, Harlow Essex, CM19 5AW, UK
SOURCE: Journal of Medicinal Chemistry (2001), 44(5), 725-736
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 134:246869

IT **215939-90-5P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(cyclic ketone inhibitors of cysteine protease cathepsin K)

RN 215939-90-5 HCAPLUS
CN 2-Quinolinecarboxamide, N-[(1S)-3-methyl-1-[[(tetrahydro-4-oxo-3-furanyl)amino]carbonyl]butyl]- (9CI) (CA INDEX NAME)

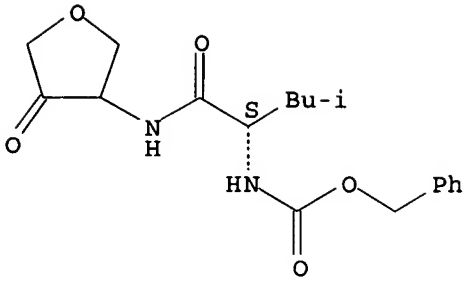
Absolute stereochemistry.



IT **215939-91-6P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(cyclic ketone inhibitors of cysteine protease cathepsin K)

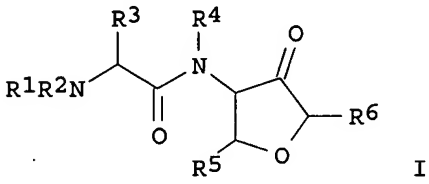
RN 215939-91-6 HCAPLUS
CN Carbamic acid, [(1S)-3-methyl-1-[[(tetrahydro-4-oxo-3-furanyl)amino]carbonyl]butyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 70 THERE ARE 70 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 16 OF 21 HCAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 24 Nov 2000
GI



I

AB The invention relates to furanone derivs. I [R1 = R', R'CO, R'C(S), R'SO2, R'O2C, R'NHCO, where R' is (un)substituted Ph or certain heterocyclic groups; R2, R4 = H, alkyl, cycloalkyl; R3 = alkyl, cycloalkyl, arylalkyl; R5 = alkyl, halo, arylalkyl, alkylcarbonylamino, aminoalkyl, etc.; R6 = H, alkyl, arylalkyl, alkylcarbonylamino, etc.], which are novel protease inhibitors, particularly inhibitors of the cysteine proteases of the papain superfamily and more particularly to cathepsin S. 3-Furancarboxylic acid [3,3-dimethyl-1S-(2S-methyl-4-oxotetrahydrofuran-3S-ylcarbamoyl)butyl]amide is one of >250 compds. claimed. Ki (μM) measurements for inhibition of mammalian, murine and rat cathepsin S and mammalian L and K are tabulated.

ACCESSION NUMBER: 2000:824250 HCAPLUS
DOCUMENT NUMBER: 134:17726
TITLE: Preparation of furanone amino acid derivatives as inhibitors of cathepsin S
INVENTOR(S): Quibell, Martin; Taylor, Steven
PATENT ASSIGNEE(S): Medivir UK Limited, UK; Peptimmune, Inc.
SOURCE: PCT Int. Appl., 120 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000069855	A2	20001123	WO 2000-GB1894	20000518
WO 2000069855	A3	20010208		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

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EP 1178986	A2	20020213	EP 2000-929721		20000518
EP 1178986	B1	20040225			
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JP 2002544274	T2	20021224	JP 2000-618272		20000518
AU 763694	B2	20030731	AU 2000-47722		20000518
AT 260274	E	20040315	AT 2000-929721		20000518
EP 1413580	A1	20040428	EP 2004-2432		20000518
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US 2003203900	A1	20031030	US 2001-15186		20011116
US 2005070598	A1	20050331	US 2003-678947		20031003
US 2004229915	A1	20041118	US 2004-853408		20040524
US 2005020588	A1	20050127	US 2004-929133		20040827
PRIORITY APPLN. INFO.:			GB 1999-11417	A	19990518
			EP 2000-929721	A3	20000518
			WO 2000-GB1894	W	20000518
			US 2000-252802P	P	20001117
			US 2000-252840P	P	20001117
			US 2001-15186	A2	20011116
			US 2001-42565	B3	20011116

OTHER SOURCE(S): MARPAT 134:17726

IT **308807-26-3P 308807-27-4P 308807-28-5P**
308807-29-6P 308807-30-9P 308807-31-0P
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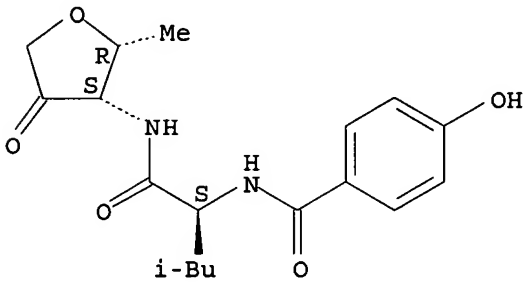
308809-56-5P 308809-57-6P 308809-58-7P
308809-59-8P 308809-60-1P 308809-61-2P
308809-62-3P 308809-63-4P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of furanone amino acid derivs. as inhibitors of cathepsin S)

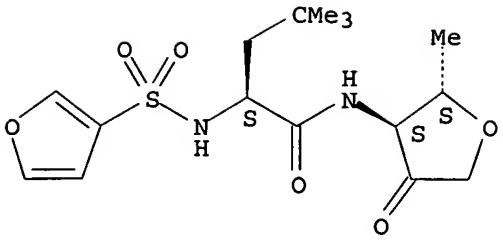
RN 308807-26-3 HCAPLUS
CN D-threo-2-Pentulose, 1,4-anhydro-3,5-dideoxy-3-[[(2S)-2-[(4-hydroxybenzoyl)amino]-4-methyl-1-oxopentyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



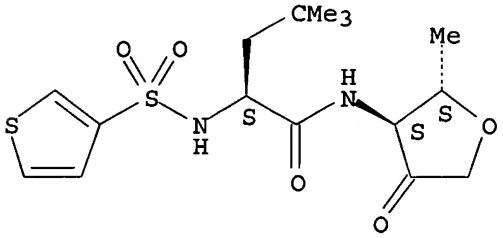
RN 308807-27-4 HCAPLUS
CN L-threo-2-Pentulose, 1,4-anhydro-3,5-dideoxy-3-[[(2S)-2-[(4-hydroxybenzoyl)amino]-4-methyl-1-oxopentyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



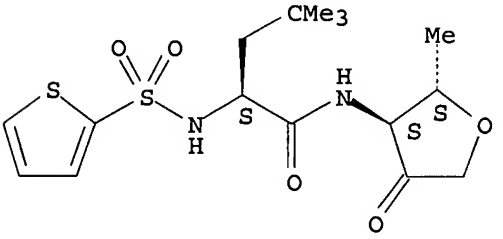
RN 308806-65-7 HCAPLUS
CN L-erythro-2-Pentulose, 1,4-anhydro-3,5-dideoxy-3-[[(2S)-4,4-dimethyl-1-oxo-2-[(3-thienylsulfonyl)amino]pentyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

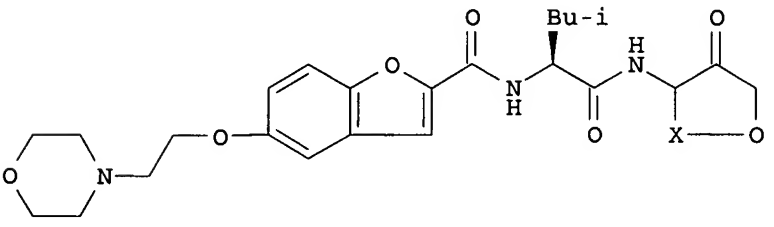


RN 308806-66-8 HCAPLUS
CN L-erythro-2-Pentulose, 1,4-anhydro-3,5-dideoxy-3-[[(2S)-4,4-dimethyl-1-oxo-2-[(2-thienylsulfonyl)amino]pentyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 17 OF 21 HCAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 26 May 2000
GI



I

AB Morpholinoethoxybenzofuran leucine derivs. I (X = CH2 or CH2CH2) were prepared as cysteine protease inhibitors, particularly of cathepsin K.

Thus, 3,4-epoxytetrahydrofuran underwent sequential azidation, catalytic hydrogenation, coupling with N-(benzyloxycarbonyl)-L-leucine, hydroxyl group oxidation, Me ketalization, and deprotection to afford 4-(L-leucylamino)-3,3-dimethoxytetrahydrofuran. Acylation of the latter with 5-(2-morpholinoethoxy)benzo[b]furan-2-ylcarbonyl chloride and deketalization gave I (X = CH2).

ACCESSION NUMBER: 2000:351525 HCAPLUS
DOCUMENT NUMBER: 132:347942
TITLE: Preparation of (morpholinoethoxy)benzofuran derivatives as cysteine protease inhibitors
INVENTOR(S): Gribble, Andrew D.; Witherington, Jason
PATENT ASSIGNEE(S): Smithkline Beecham P.L.C., UK
SOURCE: PCT Int. Appl., 26 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000029408	A1	20000525	WO 1999-GB3777	19991112

W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

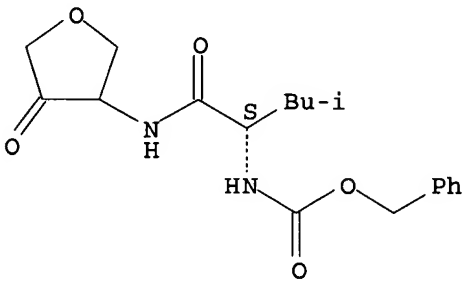
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 1998-108410P P 19981113
OTHER SOURCE(S): MARPAT 132:347942

IT **215939-91-6P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of morpholinoethoxybenzofuran derivs. as cysteine protease inhibitors)

RN 215939-91-6 HCAPLUS
CN Carbamic acid, [(1S)-3-methyl-1-[[(tetrahydro-4-oxo-3-furanyl)amino]carbonyl]butyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

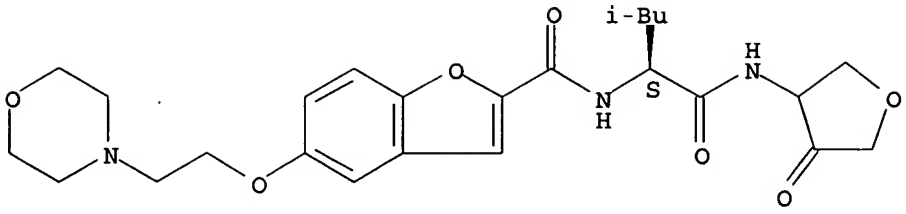
Absolute stereochemistry.



IT **269393-11-5P 269393-12-6P**
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of morpholinoethoxybenzofuran derivs. as cysteine protease inhibitors)

RN 269393-11-5 HCAPLUS
CN 2-Benzofurancarboxamide, N-[(1S)-3-methyl-1-[[(tetrahydro-4-oxo-3-furanyl)amino]carbonyl]butyl]-5-[2-(4-morpholinyl)ethoxy]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

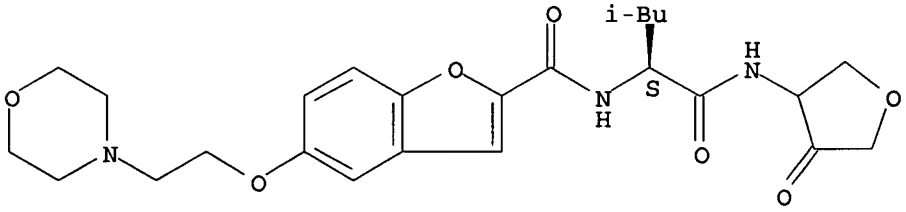


RN 269393-12-6 HCAPLUS
CN 2-Benzofurancarboxamide, N-[(1S)-3-methyl-1-[[(tetrahydro-4-oxo-3-furanyl)amino]carbonyl]butyl]-5-[2-(4-morpholinyl)ethoxy]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

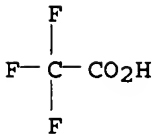
CRN 269393-11-5
CMF C25 H33 N3 O7

Absolute stereochemistry.



CM 2

CRN 76-05-1
CMF C2 H F3 O2



REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

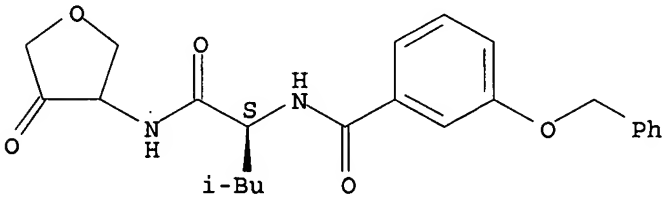
L4 ANSWER 18 OF 21 HCAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 22 Oct 1999
AB Eighteen compds. are claimed for use in pharmaceutical compns. which inhibit proteases such as cysteine proteases. Thus, 2-[N-(benzyloxycarbonyl)glycinyl]-2'-[N-(benzyloxycarbonyl)-L-leucinyl]carbohydrazide was prepared and shown to be an efficacious inhibitor (Ki = 9.5 nM) of Plasmodium falciparum cysteine protease.
ACCESSION NUMBER: 1999:672996 HCAPLUS
DOCUMENT NUMBER: 131:299694
TITLE: Preparation of amino acid derivatives for treatment of parasitic diseases by inhibition of cysteine proteases of the papain superfamily
INVENTOR(S): Thompson, Scott Kevin; Veber, Daniel Frank; Tomaszek, Thaddeus Anthony; Tew, David Graham
PATENT ASSIGNEE(S): Smithkline Beecham Corporation, USA
SOURCE: PCT Int. Appl., 63 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9953039	A1	19991021	WO 1999-US7723	19990408
W: AE, AL, AU, BA, BB, BG, BR, CA, CN, CZ, EE, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KP, KR, LC, LK, LR, LT, LV, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, SL, TR, TT, UA, US, UZ, VN, YU, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2327282	AA	19991021	CA 1999-2327282	19990408
AU 9934820	A1	19991101	AU 1999-34820	19990408
BR 9909530	A	20001226	BR 1999-9530	19990408
EP 1068304	A1	20010117	EP 1999-916517	19990408
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI				
TR 200002940	T2	20010221	TR 2000-200002940	19990408
JP 2002511491	T2	20020416	JP 2000-543587	19990408
NO 2000005032	A	20001116	NO 2000-5032	20001006
US 2002156018	A1	20021024	US 2002-120720	20020412
PRIORITY APPLN. INFO.:			US 1998-81221P	P 19980409
			WO 1999-US7723	W 19990408
			US 2000-673050	B1 20001010

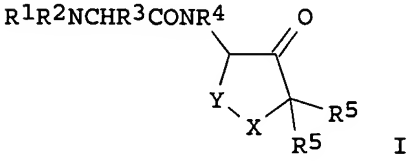
IT **247119-77-3P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of amino acid derivs. for treatment of parasitic diseases by inhibition of cysteine proteases of papain superfamily)
RN 247119-77-3 HCAPLUS
CN Benzamide, N-[(1S)-3-methyl-1-[[(tetrahydro-4-oxo-3-furanyl)amino]carbonyl]butyl]-3-(phenylmethoxy)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 19 OF 21 HCAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 24 Nov 1998
GI



I

AB Amino acid derivs. I [R1 = R'', R''CO, R''CS, R''SO2, R''O2C, R''R'NCO, R''O2CNR'CHR6CO; R2 = H, alkyl, alkenyl, arylalkyl, heteroarylalkyl; R3 = H, alkyl, alkenyl, alkynyl, cycloalkylalkyl, arylalkyl, heteroarylalkyl; R4 = H, alkyl, alkenyl, arylalkyl, heteroarylalkyl; R5 = H, alkyl, alkenyl, arylalkyl, heteroarylalkyl; R6 = H, alkyl, alkenyl, cycloalkylalkyl, arylalkyl, heteroarylalkyl; R' = H, alkyl, alkenyl,

arylalkyl, heteroarylalkyl; R'' = alkyl, arylalkyl, heteroarylalkyl, arylalkenyl, heteroarylalkenyl; X = O, S; Y = CH2, (CH2)n, n = 1-3] were prepared as protease inhibitors. Thus, 4-(R,S)-amino-N-[(3,4-methylenedioxybenzoyl)-S-leucine]tetrahydrofuran-3-one was prepared from 3,4-epoxytetrahydrofuran by sequential azidation, hydrogenation, coupling with Boc-L-leucine, deprotection with TFA, acylation with piperonyloyl chloride, and oxidation

ACCESSION NUMBER: 1998:745182 HCAPLUS
DOCUMENT NUMBER: 130:14262
TITLE: Preparation of heterocyclyl derivatives of leucine as protease inhibitors
INVENTOR(S): Gribble, Andrew D.; Fenwick, Ashley Edward; Marquis, Robert W.; Veber, Daniel F.; Witherington, Jason
PATENT ASSIGNEE(S): Smithkline Beecham Corp., USA; Smithkline Beecham PLC
SOURCE: PCT Int. Appl., 74 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
- WO 9850533	A1	19981112	WO 1998-US3200	19980506
W: AL, AU, BA, BB, BG, BR, CA, CN, CZ, EE, GE, HU, ID, IL, IS, JP, KP, KR, LC, LK, LR, LT, LV, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, SL, TR, TT, UA, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
ZA 9803762	A	19981106	ZA 1998-3762	19980505
CA 2288868	AA	19981112	CA 1998-2288868	19980506
AU 9875625	A1	19981127	AU 1998-75625	19980506
TR 9902766	T2	20000221	TR 1999-9902766	19980506
EP 1003846	A1	20000531	EP 1998-923299	19980506
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI				
BR 9809306	A	20000704	BR 1998-9306	19980506
NZ 337889	A	20010928	NZ 1998-337889	19980506
JP 2001525804	T2	20011211	JP 1998-548049	19980506
NO 9905434	A	19991105	NO 1999-5434	19991105
MX 9910254	A	20000430	MX 1999-10254	19991108
US 2002013360	A1	20020131	US 2001-917990	20010730
US 6566373	B2	20030520		

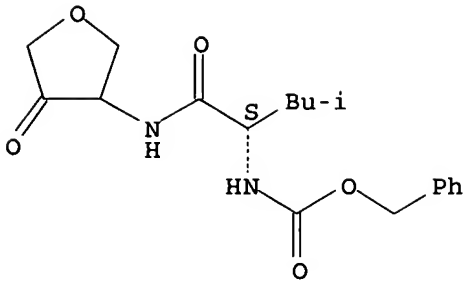
PRIORITY APPLN. INFO.:
US 1997-45758P P 19970506
WO 1998-US3200 W 19980506
US 1999-423377 B1 19991104
US 2000-672219 A1 20000928

OTHER SOURCE(S): MARPAT 130:14262

IT **215939-91-6P**
RL: RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of heterocyclyl amino acid derivs. as protease inhibitors)

RN 215939-91-6 HCAPLUS
CN Carbamic acid, [(1S)-3-methyl-1-[[(tetrahydro-4-oxo-3-furanyl)amino]carbonyl]butyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT **203503-53-1P 215939-88-1P 215939-89-2P**
215939-90-5P 215939-92-7P 215939-94-9P
215939-95-0P 215939-98-3P 215940-00-4P
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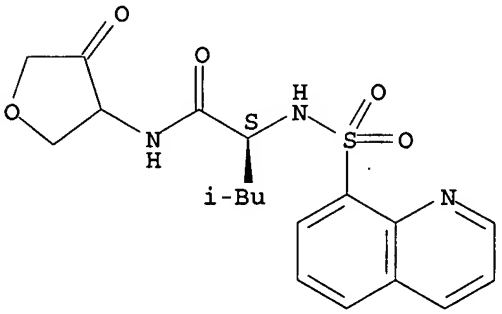
215940-49-1P 215940-51-5P 215940-52-6P
215940-54-8P 215940-55-9P 215940-56-0P
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of heterocyclyl amino acid derivs. as protease inhibitors)

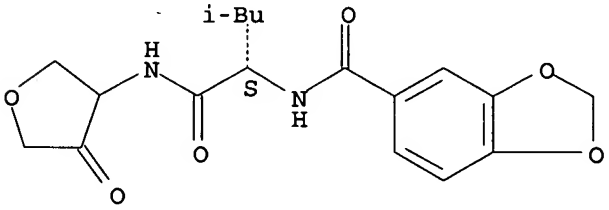
RN 203503-53-1 HCAPLUS
CN Pentanamide, 4-methyl-2-[(8-quinolinylsulfonyl)amino]-N-(tetrahydro-4-oxo-3-furanyl)-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



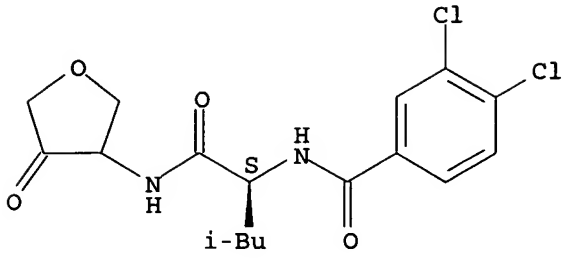
RN 215939-88-1 HCAPLUS
CN 1,3-Benzodioxole-5-carboxamide, N-[(1S)-3-methyl-1-[[(tetrahydro-4-oxo-3-furanyl)amino]carbonyl]butyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



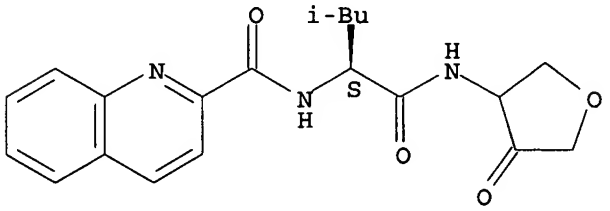
RN 215939-89-2 HCAPLUS
CN Benzamide, 3,4-dichloro-N-[(1S)-3-methyl-1-[[(tetrahydro-4-oxo-3-furanyl)amino]carbonyl]butyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



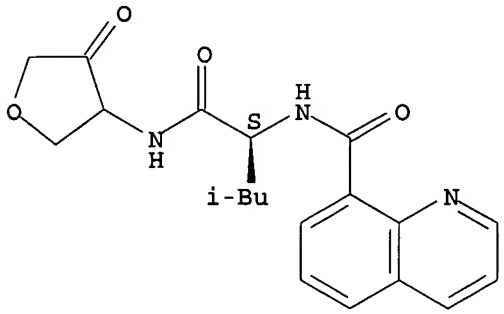
RN 215939-90-5 HCAPLUS
CN 2-Quinolinecarboxamide, N-[(1S)-3-methyl-1-[[(tetrahydro-4-oxo-3-furanyl)amino]carbonyl]butyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



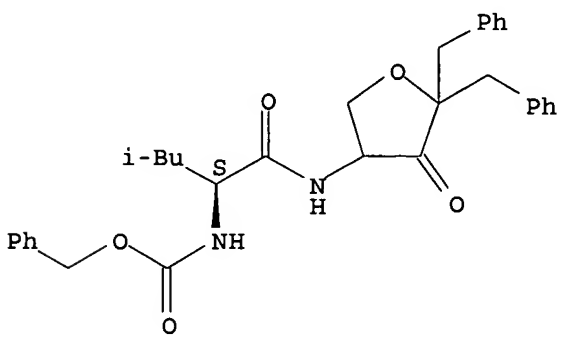
RN 215939-92-7 HCAPLUS
CN 8-Quinolinecarboxamide, N-[(1S)-3-methyl-1-[[(tetrahydro-4-oxo-3-furanyl)amino]carbonyl]butyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



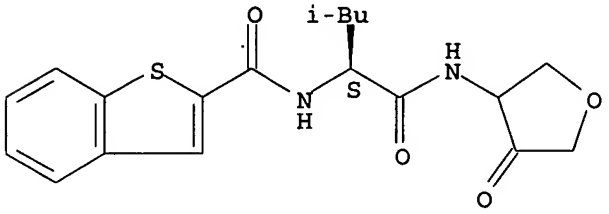
RN 215939-94-9 HCAPLUS
CN Carbamic acid, [(1S)-3-methyl-1-[[[tetrahydro-4-oxo-5,5-bis(phenylmethyl)-3-furanyl]amino]carbonyl]butyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



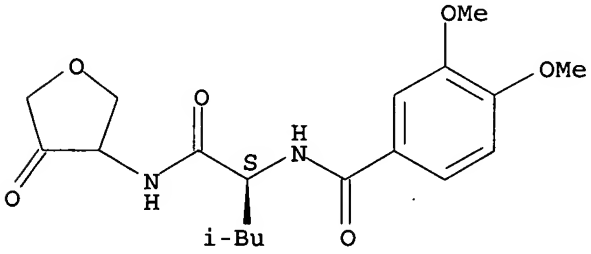
RN 215939-95-0 HCAPLUS
CN Benzo[b]thiophene-2-carboxamide, N-[(1S)-3-methyl-1-[[(tetrahydro-4-oxo-3-furanyl)amino]carbonyl]butyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



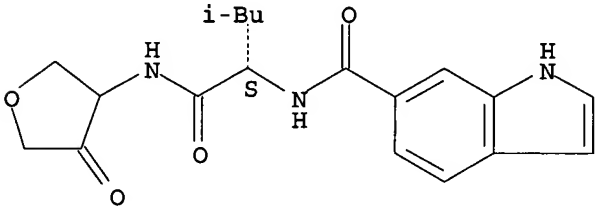
RN 215939-98-3 HCAPLUS
CN Benzamide, 3,4-dimethoxy-N-[(1S)-3-methyl-1-[[(tetrahydro-4-oxo-3-furanyl)amino]carbonyl]butyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



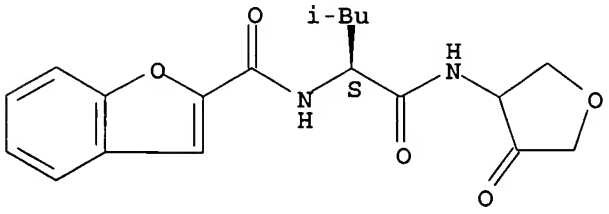
RN 215940-00-4 HCAPLUS
CN 1H-Indole-6-carboxamide, N-[(1S)-3-methyl-1-[[(tetrahydro-4-oxo-3-furanyl)amino]carbonyl]butyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



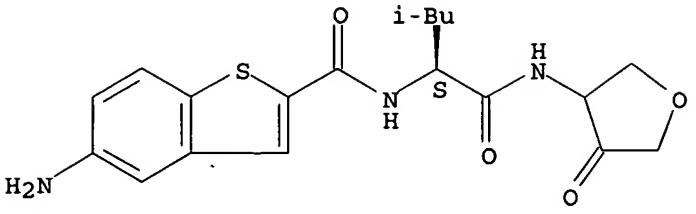
RN 215940-02-6 HCAPLUS
CN 2-Benzofurancarboxamide, N-[(1S)-3-methyl-1-[[(tetrahydro-4-oxo-3-furanyl)amino]carbonyl]butyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



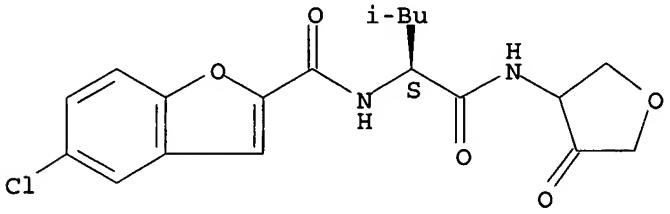
RN 215940-03-7 HCAPLUS
CN Benzo[b]thiophene-2-carboxamide, 5-amino-N-[(1S)-3-methyl-1-[[(tetrahydro-4-oxo-3-furanyl)amino]carbonyl]butyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



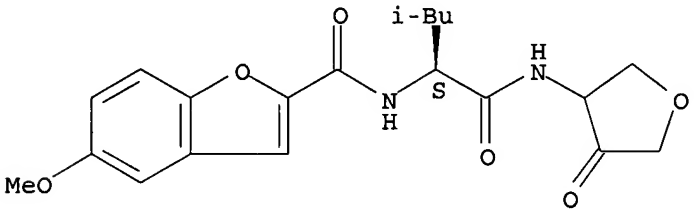
RN 215940-04-8 HCAPLUS
CN 2-Benzofurancarboxamide, 5-chloro-N-[(1S)-3-methyl-1-[[(tetrahydro-4-oxo-3-furanyl)amino]carbonyl]butyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



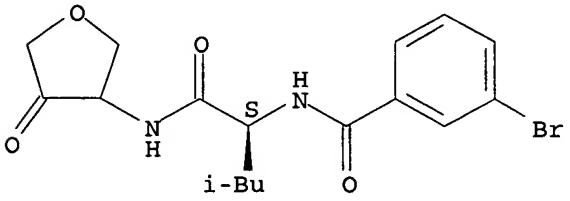
RN 215940-06-0 HCAPLUS
CN 2-Benzofurancarboxamide, 5-methoxy-N-[(1S)-3-methyl-1-[[(tetrahydro-4-oxo-3-furanyl)amino]carbonyl]butyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



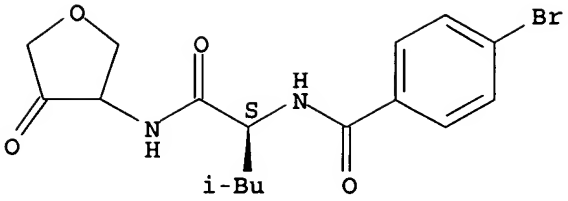
RN 215940-07-1 HCAPLUS
CN Benzamide, 3-bromo-N-[(1S)-3-methyl-1-[[(tetrahydro-4-oxo-3-furanyl)amino]carbonyl]butyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



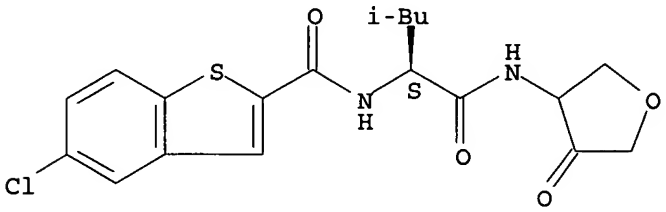
RN 215940-08-2 HCAPLUS
CN Benzamide, 4-bromo-N-[(1S)-3-methyl-1-[[(tetrahydro-4-oxo-3-furanyl)amino]carbonyl]butyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



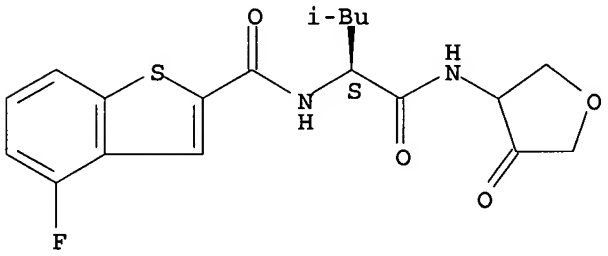
RN 215940-09-3 HCAPLUS
CN Benzo[b]thiophene-2-carboxamide, 5-chloro-N-[(1S)-3-methyl-1-[[(tetrahydro-4-oxo-3-furanyl)amino]carbonyl]butyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



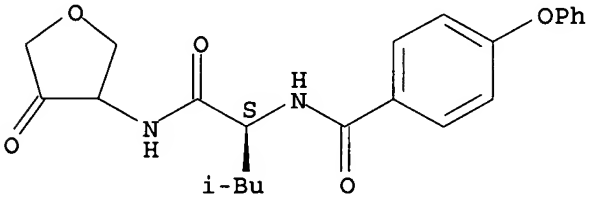
RN 215940-10-6 HCAPLUS
CN Benzo[b]thiophene-2-carboxamide, 4-fluoro-N-[(1S)-3-methyl-1-[[(tetrahydro-4-oxo-3-furanyl)amino]carbonyl]butyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



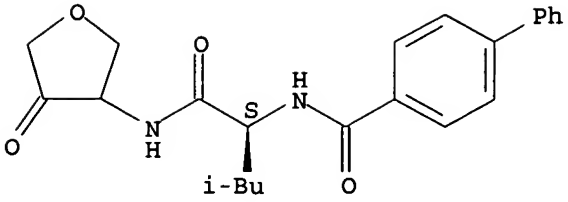
RN 215940-14-0 HCAPLUS
CN Benzamide, N-[(1S)-3-methyl-1-[[(tetrahydro-4-oxo-3-furanyl)amino]carbonyl]butyl]-4-phenoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



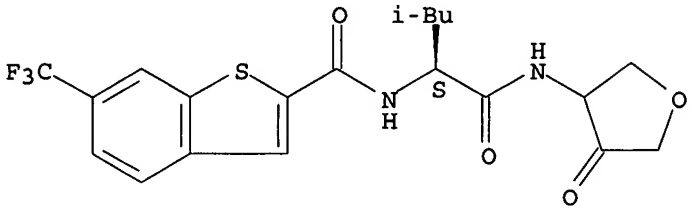
RN 215940-15-1 HCAPLUS
CN [1,1'-Biphenyl]-4-carboxamide, N-[(1S)-3-methyl-1-[[(tetrahydro-4-oxo-3-furanyl)amino]carbonyl]butyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



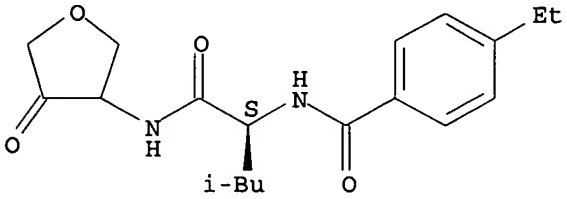
RN 215940-17-3 HCAPLUS
CN Benzo[b]thiophene-2-carboxamide, N-[(1S)-3-methyl-1-[[(tetrahydro-4-oxo-3-furanyl)amino]carbonyl]butyl]-6-(trifluoromethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



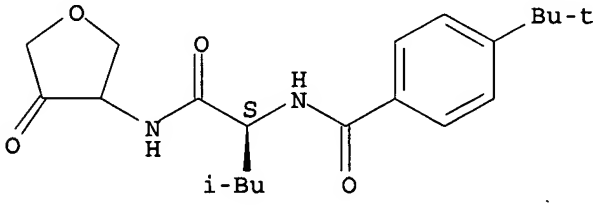
RN 215940-18-4 HCAPLUS
CN Benzamide, 4-ethyl-N-[(1S)-3-methyl-1-[[(tetrahydro-4-oxo-3-furanyl)amino]carbonyl]butyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



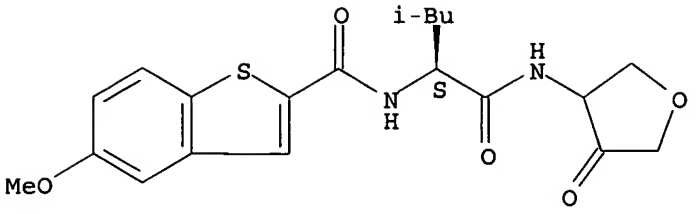
RN 215940-19-5 HCAPLUS
CN Benzamide, 4-(1,1-dimethylethyl)-N-[(1S)-3-methyl-1-[[(tetrahydro-4-oxo-3-furanyl)amino]carbonyl]butyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



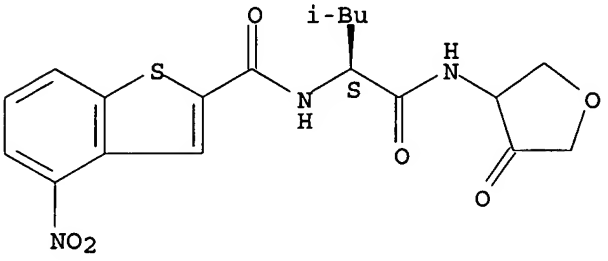
RN 215940-20-8 HCAPLUS
CN Benzo[b]thiophene-2-carboxamide, 5-methoxy-N-[(1S)-3-methyl-1-[[(tetrahydro-4-oxo-3-furanyl)amino]carbonyl]butyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



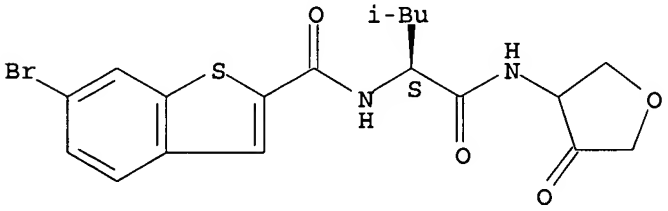
RN 215940-22-0 HCAPLUS
CN Benzo[b]thiophene-2-carboxamide, N-[(1S)-3-methyl-1-[[(tetrahydro-4-oxo-3-furanyl)amino]carbonyl]butyl]-4-nitro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



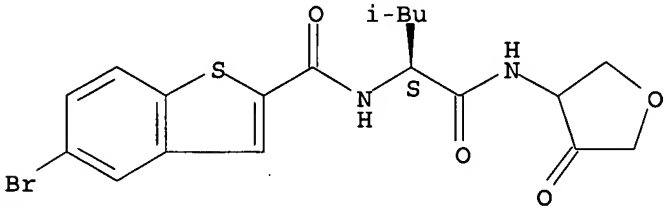
RN 215940-23-1 HCAPLUS
CN Benzo[b]thiophene-2-carboxamide, 6-bromo-N-[(1S)-3-methyl-1-[[(tetrahydro-4-oxo-3-furanyl)amino]carbonyl]butyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



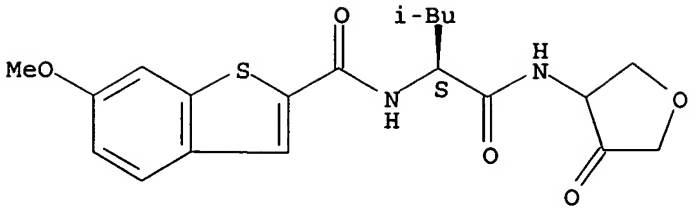
RN 215940-24-2 HCAPLUS
CN Benzo[b]thiophene-2-carboxamide, 5-bromo-N-[(1S)-3-methyl-1-[[(tetrahydro-4-oxo-3-furanyl)amino]carbonyl]butyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



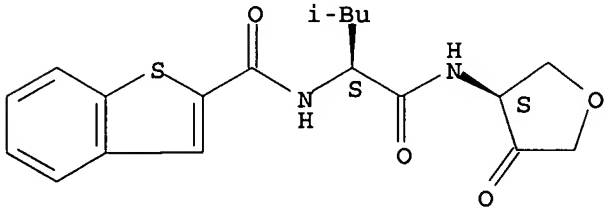
RN 215940-25-3 HCAPLUS
CN Benzo[b]thiophene-2-carboxamide, 6-methoxy-N-[(1S)-3-methyl-1-[[(tetrahydro-4-oxo-3-furanyl)amino]carbonyl]butyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



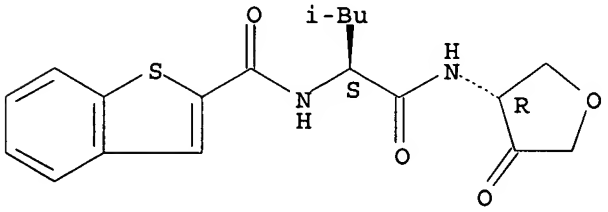
RN 215940-27-5 HCAPLUS
CN Benzo[b]thiophene-2-carboxamide, N-[(1S)-3-methyl-1-[[[(3S)-tetrahydro-4-oxo-3-furanyl]amino]carbonyl]butyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



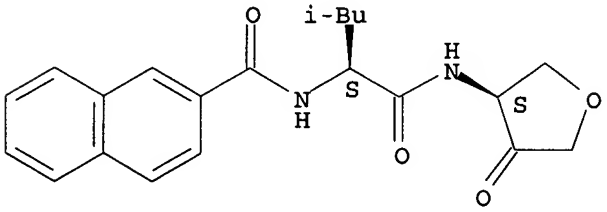
RN 215940-28-6 HCAPLUS
CN Benzo[b]thiophene-2-carboxamide, N-[(1S)-3-methyl-1-[[[(3R)-tetrahydro-4-oxo-3-furanyl]amino]carbonyl]butyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



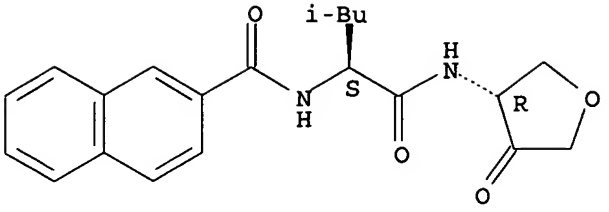
RN 215940-29-7 HCAPLUS
CN 2-Naphthalenecarboxamide, N-[(1S)-3-methyl-1-[[[(3S)-tetrahydro-4-oxo-3-furanyl]amino]carbonyl]butyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



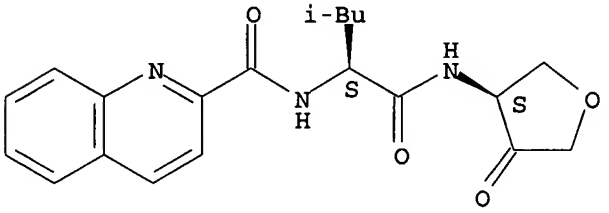
RN 215940-30-0 HCAPLUS
CN 2-Naphthalenecarboxamide, N-[(1S)-3-methyl-1-[[[(3R)-tetrahydro-4-oxo-3-furanyl]amino]carbonyl]butyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



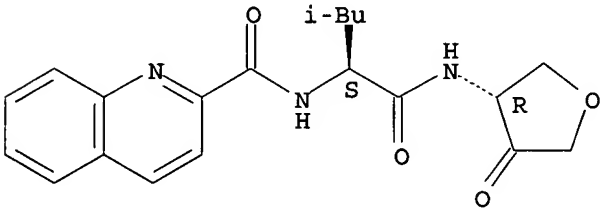
RN 215940-32-2 HCAPLUS
CN 2-Quinolinecarboxamide, N-[(1S)-3-methyl-1-[[[(3S)-tetrahydro-4-oxo-3-furanyl]amino]carbonyl]butyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



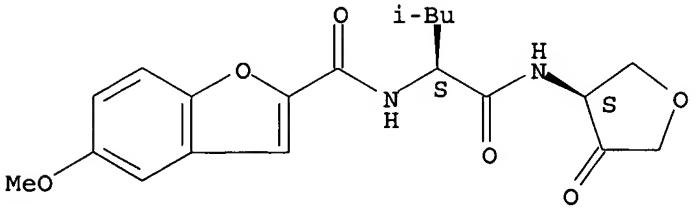
RN 215940-33-3 HCAPLUS
CN 2-Quinolinecarboxamide, N-[(1S)-3-methyl-1-[[[(3R)-tetrahydro-4-oxo-3-furanyl]amino]carbonyl]butyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



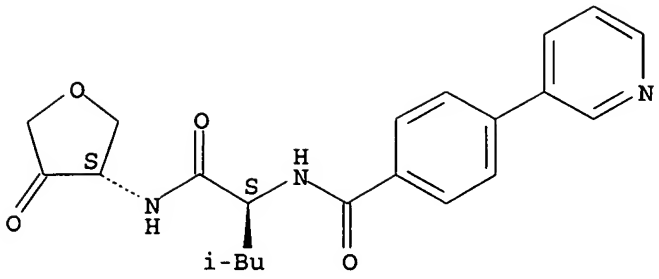
RN 215940-34-4 HCAPLUS
CN 2-Benzofurancarboxamide, 5-methoxy-N-[(1S)-3-methyl-1-[[[(3S)-tetrahydro-4-oxo-3-furanyl]amino]carbonyl]butyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



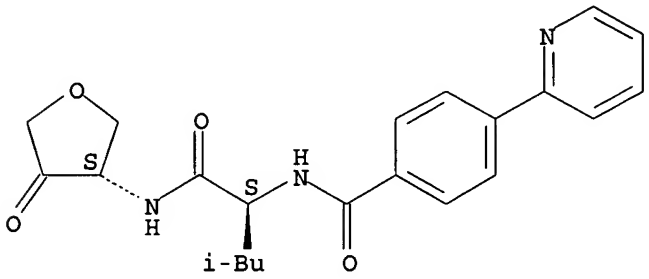
RN 215940-39-9 HCAPLUS
CN Benzamide, N-[(1S)-3-methyl-1-[[[(3S)-tetrahydro-4-oxo-3-furanyl]amino]carbonyl]butyl]-4-(3-pyridinyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



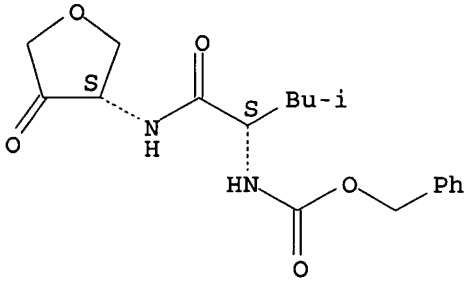
RN 215940-40-2 HCAPLUS
CN Benzamide, N-[(1S)-3-methyl-1-[[[(3S)-tetrahydro-4-oxo-3-furanyl]amino]carbonyl]butyl]-4-(2-pyridinyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



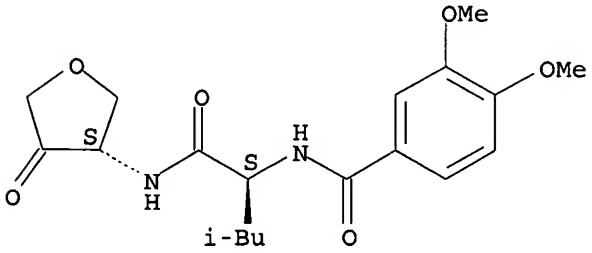
RN 215940-42-4 HCAPLUS
CN Carbamic acid, [(1S)-3-methyl-1-[[[(3S)-tetrahydro-4-oxo-3-furanyl]amino]carbonyl]butyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



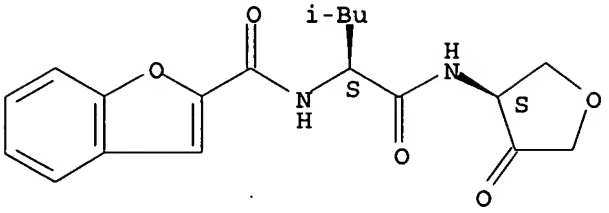
RN 215940-43-5 HCAPLUS
CN Benzamide, 3,4-dimethoxy-N-[(1S)-3-methyl-1-[[[(3S)-tetrahydro-4-oxo-3-furanyl]amino]carbonyl]butyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



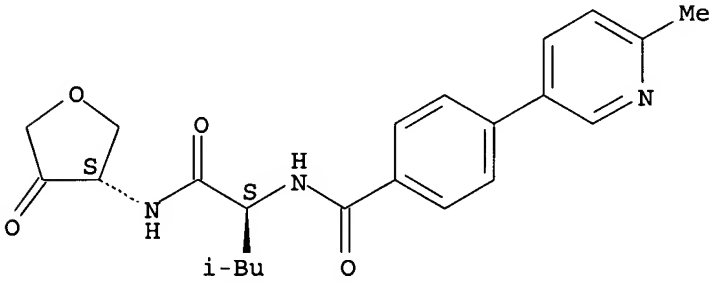
RN 215940-44-6 HCAPLUS
CN 2-Benzofurancarboxamide, N-[(1S)-3-methyl-1-[[[(3S)-tetrahydro-4-oxo-3-furanyl]amino]carbonyl]butyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



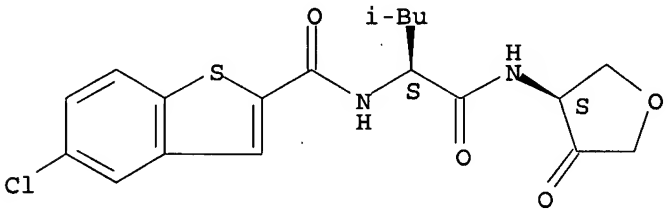
RN 215940-45-7 HCAPLUS
CN Benzamide, 4-(6-methyl-3-pyridinyl)-N-[(1S)-3-methyl-1-[[[(3S)-tetrahydro-4-oxo-3-furanyl]amino]carbonyl]butyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



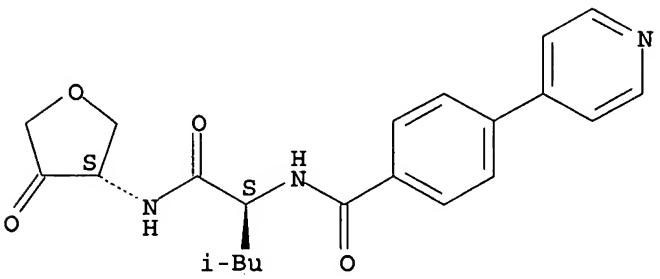
RN 215940-46-8 HCAPLUS
CN Benzo[b]thiophene-2-carboxamide, 5-chloro-N-[(1S)-3-methyl-1-[[[(3S)-tetrahydro-4-oxo-3-furanyl]amino]carbonyl]butyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



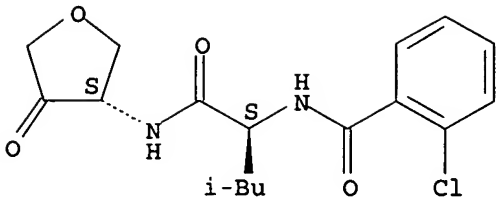
RN 215940-48-0 HCAPLUS
CN Benzamide, N-[(1S)-3-methyl-1-[[[(3S)-tetrahydro-4-oxo-3-furanyl]amino]carbonyl]butyl]-4-(4-pyridinyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



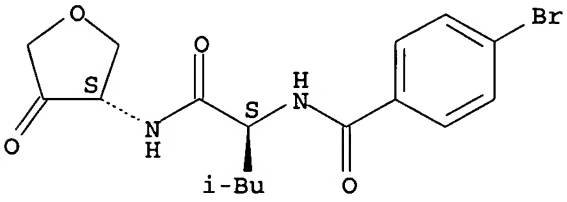
RN 215940-49-1 HCAPLUS
CN Benzamide, 2-chloro-N-[(1S)-3-methyl-1-[[[(3S)-tetrahydro-4-oxo-3-furanyl]amino]carbonyl]butyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



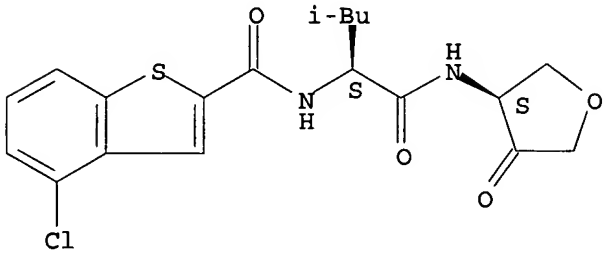
RN 215940-51-5 HCAPLUS
CN Benzamide, 4-bromo-N-[(1S)-3-methyl-1-[[[(3S)-tetrahydro-4-oxo-3-furanyl]amino]carbonyl]butyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



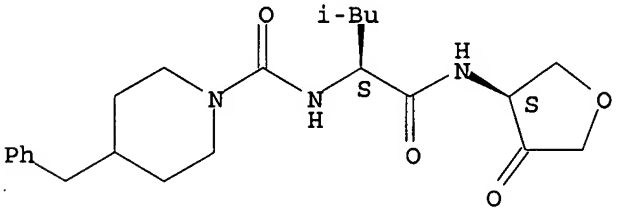
RN 215940-52-6 HCAPLUS
CN Benzo[b]thiophene-2-carboxamide, 4-chloro-N-[(1S)-3-methyl-1-[[[(3S)-tetrahydro-4-oxo-3-furanyl]amino]carbonyl]butyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



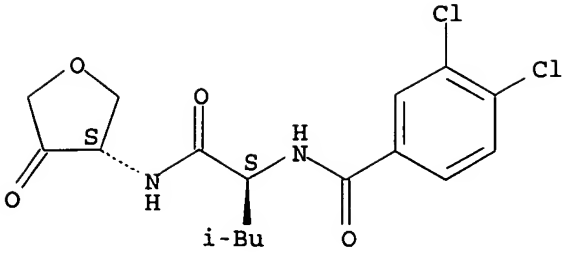
RN 215940-54-8 HCAPLUS
CN 1-Piperidinecarboxamide, N-[(1S)-3-methyl-1-[[[(3S)-tetrahydro-4-oxo-3-furanyl]amino]carbonyl]butyl]-4-(phenylmethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



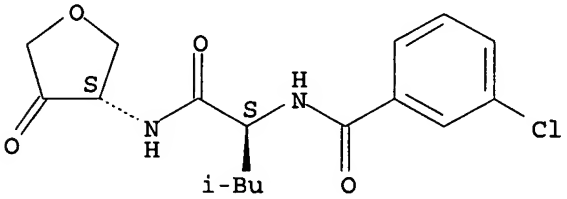
RN 215940-55-9 HCAPLUS
CN Benzamide, 3,4-dichloro-N-[(1S)-3-methyl-1-[[[(3S)-tetrahydro-4-oxo-3-furanyl]amino]carbonyl]butyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



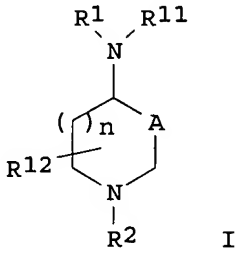
RN 215940-56-0 HCAPLUS
CN Benzamide, 3-chloro-N-[(1S)-3-methyl-1-[[[(3S)-tetrahydro-4-oxo-3-furanyl]amino]carbonyl]butyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 20 OF 21 HCAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 25 Feb 1998
GI



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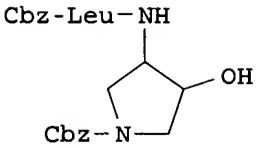

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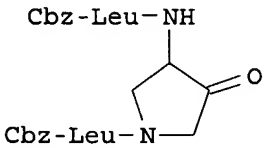


III

AB Title heterocycles I [A = CO, CH(OH); R11, R12, R9, R6 = = H, C1-6 alkyl, C3-6 cycloalkyl-C0-6 alkyl, Ar-C0-6 alkyl, Het-C0-6 alkyl; R1 = R4R10NCHR3Z, ARCHR9CO, 4-(Ph-Y)C6H4CO, dibenzofuran-2-sulfonyl; R2 = any group R11, R5CO, R5CS, R5SO2, R5O2C, R5R10NCO, R5R10NCS, adamantyl-CO, R6R7NCHR3-Z; R3 = H, C2-6 alkenyl, C2-6 alkynyl, Het, Ar, C1-6 alkyl (un)substituted by OR10, SR10, NR102, R10NCO2R5, CO2R10, CO2NR102, NC:NHNH2, Het, Ar; R4, R7 = any group R11, R5CO, R5CS, R5SO2, R5O2C, R5R10NCO, R5R10NCS, R10HNCHR10CO, R5O2CNR10CHR10CO; R5 = C3-6 cycloalkyl-C0-6 alkyl, Ar-C0-6 alkyl, Het-C0-6 alkyl, Ar-C0-6 alkoxy, Het-C0-6 alkoxy, C1-6 alkyl (un)substituted by OR10, SR10, NR102, R10NCO2R5, CO2R10, CO2NR102, NC:NHNH2, Het, Ar; NR6R7 = pyrrolidino, piperidino, morpholino; R10 = H, C1-6 alkyl, Ar-C0-6 alkyl, Het-C0-6 alkyl; Y = bond, O; Z = CO, CH2; n = 0-2; Ar = aryl, Het = heterocyclyl]

or a pharmaceutically acceptable salt thereof, are inhibitors of cysteine proteases, particularly cathepsin K, and are useful in the treatment of diseases in which inhibition of bone loss is a factor. Thus, coupling of 1-tert-butoxycarbonyl-trans-3-amino-4-hydroxypyrrolidine (preparation given) with Cbz-Leu-OH (Cbz = PhCH2O2C), followed by deprotection with HCl in EtOAc and further coupling with Cbz-Leu-OH gave trans-pyrrolidinol II. Jones oxidation of II gave desired title compound III.

ACCESSION NUMBER: 1998:112238 HCAPLUS
DOCUMENT NUMBER: 128:192935
TITLE: Preparation of heterocyclic peptide derivatives as cysteine protease inhibitors
INVENTOR(S): Marquis, Robert W., Jr.; Veber, Daniel F.; Ru, Yu; Lo, Castro Stephen
PATENT ASSIGNEE(S): Smithkline Beecham Corp., USA; Marquis, Robert W. Jr.; Veber, Daniel F.; Ru, Yu; Lo Castro, Stephen
SOURCE: PCT Int. Appl., 176 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9805336	A1	19980212	WO 1997-US13875	19970807
W: AL, AM, AU, BB, BG, BR, CA, CN, CZ, EE, GE, GH, HU, IL, IS, JP, KG, KP, KR, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, TR, TT, UA, US, UZ, VN, YU, AZ, BY, KZ, RU, TJ, TM				
RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AP 865	A	20000817	AP 1997-1054	19970806
W: BW, GM, GH, KE, LS, MW, SD, SZ, UG, ZM, ZW				
CA 2262668	AA	19980212	CA 1997-2262668	19970807
AU 9739726	A1	19980225	AU 1997-39726	19970807
AU 721853	B2	20000713		
ZA 9707032	A	19980804	ZA 1997-7032	19970807
EP 936912	A1	19990825	EP 1997-937146	19970807
EP 936912	B1	20040211		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI				
CN 1232399	A	19991020	CN 1997-198532	19970807
NZ 333987	A	20000929	NZ 1997-333987	19970807
BR 9711044	A	20001024	BR 1997-11044	19970807
JP 2000516920	T2	20001219	JP 1998-508213	19970807
IL 128378	A1	20031031	IL 1997-128378	19970807
AT 259352	E	20040215	AT 1997-937146	19970807
PT 936912	T	20040630	PT 1997-937146	19970807
ES 2213831	T3	20040901	ES 1997-937146	19970807
RO 120407	B1	20060130	RO 1999-137	19970807
TW 542825	B	20030721	TW 1997-86111564	19970922
BG 64412	B1	20050131	BG 1999-103144	19990203
NO 9900548	A	19990407	NO 1999-548	19990205
NO 317182	B1	20040906		
KR 2000029863	A	20000525	KR 1999-701027	19990206
HK 1022096	A1	20041105	HK 2000-101085	20000223
US 2002128476	A1	20020912	US 2001-836586	20010417
US 2004180927	A1	20040916	US 2004-789063	20040227

PRIORITY APPLN. INFO.:		
US 1996-23742P	P	19960808
US 1997-46867P	P	19970508
WO 1997-US13875	W	19970807
US 1999-230791	B1	19990208
US 2000-658256	B1	20000908
US 2001-836586	A1	20010417

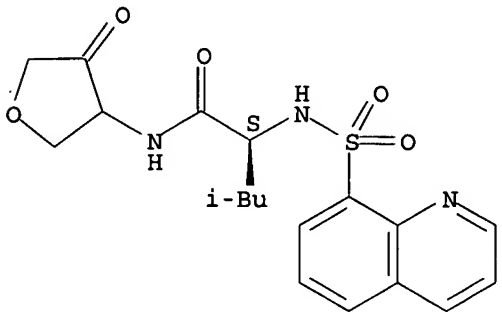
OTHER SOURCE(S): MARPAT 128:192935
IT **203503-53-1**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(preparation of heterocyclic peptide derivs. as cysteine protease inhibitors)

RN 203503-53-1 HCAPLUS

CN Pentanamide, 4-methyl-2-[(8-quinolinylsulfonyl)amino]-N-(tetrahydro-4-oxo-3-furanyl)-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 21 OF 21 HCAPLUS COPYRIGHT 2006 ACS on STN

ED Entered STN: 12 May 1984

AB The smallest CNBr fragment derived from the β subunit of Synechococcus species 6301 C-phycocyanin, the blue heptapeptide, was investigated by 360-MHz 1H NMR spectroscopy. The peptide portion was synthesized independently and used in comparative spectroscopic anal. These studies led to complete assignment of the structure of the peptide-linked phycocyanobilin and elucidation of the nature of the thioether chromophore-peptide linkage.

ACCESSION NUMBER: 1979:553046 HCAPLUS

DOCUMENT NUMBER: 91:153046

TITLE: Chromopeptides from C-phycocyanin. Structure and linkage of a phycocyanobilin bound to the β subunit

AUTHOR(S): Lagarias, J. Clark; Glazer, Alexander N.; Rapoport, Henry

CORPORATE SOURCE: Dep. Chem., Univ. California, Berkeley, CA, 94720, USA

SOURCE: Journal of the American Chemical Society (1979), 101(17), 5030-7
CODEN: JACSAT; ISSN: 0002-7863

DOCUMENT TYPE: Journal

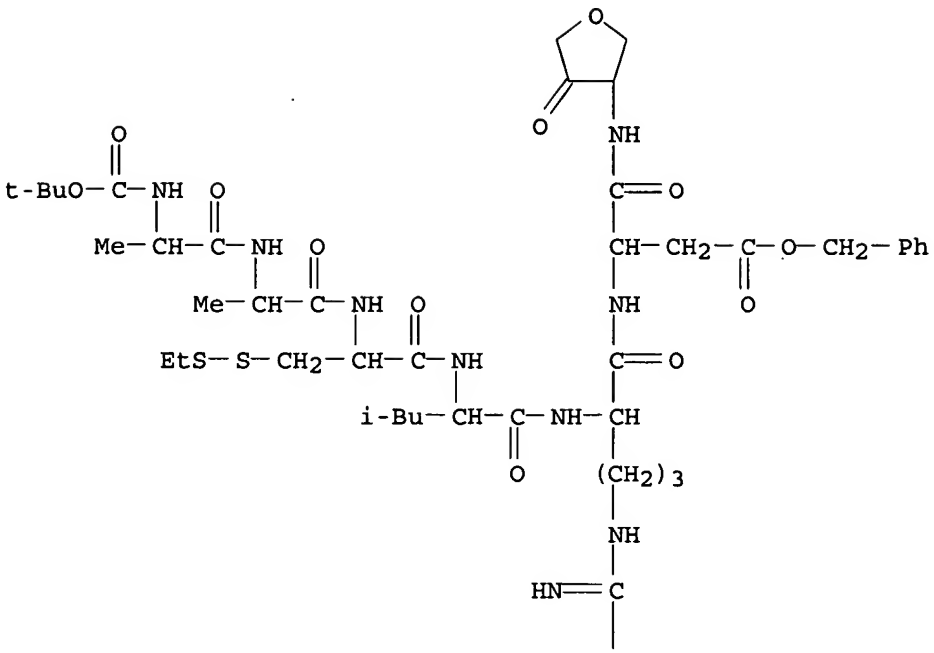
LANGUAGE: English

IT **71557-74-9P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and deblocking of)

RN 71557-74-9 HCAPLUS

CN L-α-Asparagine, N2-[N2-[N-[N-[N-[N-[(1,1-dimethylethoxy)carbonyl]-L-alanyl]-L-alanyl]-3-(ethyldithio)-L-alanyl]-L-leucyl]-N5-[imino[[(4-methoxyphenyl)sulfonyl]amino]methyl]-L-ornithyl]-N-(tetrahydro-2-oxo-3-furanyl)-, phenylmethyl ester, (S)- (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A